```
=> fil reg; d ide; fil capl uspatfull; s l11
FILE 'REGISTRY' ENTERED AT 11:00:13 ON 07 JAN 2004
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STRUCTURE FILE UPDATES:    5 JAN 2004  HIGHEST RN 634558-38-6
DICTIONARY FILE UPDATES:   5 JAN 2004  HIGHEST RN 634558-38-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html


L11  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   438192-11-1  REGISTRY
CN   Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1-piperazinyl)phenyl]amino]sulfon
     yl]- (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C21 H28 N4 O3 S
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
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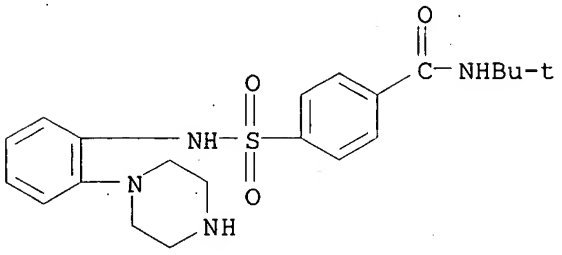
/- these are the only files in STN that contain refs to this Registry #

elected species

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             1 REFERENCES IN FILE CA (1907 TO DATE)
             1 REFERENCES IN FILE CAPLUS (1907 TO DATE)


FILE 'CAPLUS' ENTERED AT 11:00:14 ON 07 JAN 2004
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FILE 'USPATFULL' ENTERED AT 11:00:14 ON 07 JAN 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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L12 2 L11

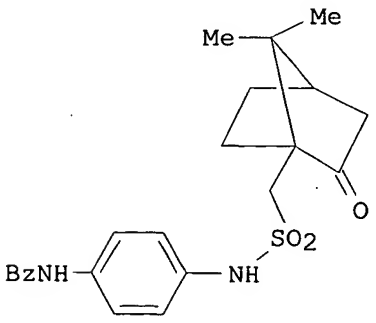
=> dup rem l12
PROCESSING COMPLETED FOR L12
L13 2 DUP REM L12 (0 DUPLICATES REMOVED)
ANSWER '1' FROM FILE CAPLUS
ANSWER '2' FROM FILE USPATFULL

=> d ibib abs hitrn 1-2

L13 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:465810 -CAPLUS
DOCUMENT NUMBER: 137:46797
TITLE: Diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors
INVENTOR(S): Snyder, James P.; Liotta, Dennis C.; Venkatesan, Hariharan; Wang, Minmin; Davis, Matthew C.
PATENT ASSIGNEE(S): Emory University, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047679	A2	20020620	WO 2001-US49303	20011217
WO 2002047679	C1	20030130		
WO 2002047679	A3	20030612		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002031098	A5	20020624	AU 2002-31098	20011217
US 2002128208	A1	20020912	US 2001-23603	20011217

PRIORITY APPLN. INFO.: US 2000-255946P P 20001215
WO 2001-US49303 W 20011217
OTHER SOURCE(S): MARPAT 137:46797
GI



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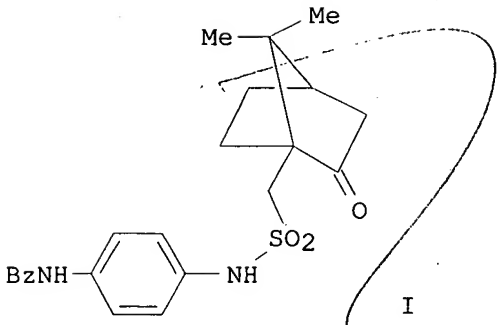

I

AB The title compds. were prepd. as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

IT **438192-11-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

```
=> fil reg; d stat que l6; fil capl; d que nos l7; fil uspatf; d que nos l8
FILE 'REGISTRY' ENTERED AT 10:38:05 ON 07 JAN 2004
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STRUCTURE FILE UPDATES:    5 JAN 2004  HIGHEST RN 634558-38-6
DICTIONARY FILE UPDATES:   5 JAN 2004  HIGHEST RN 634558-38-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L4                  STR
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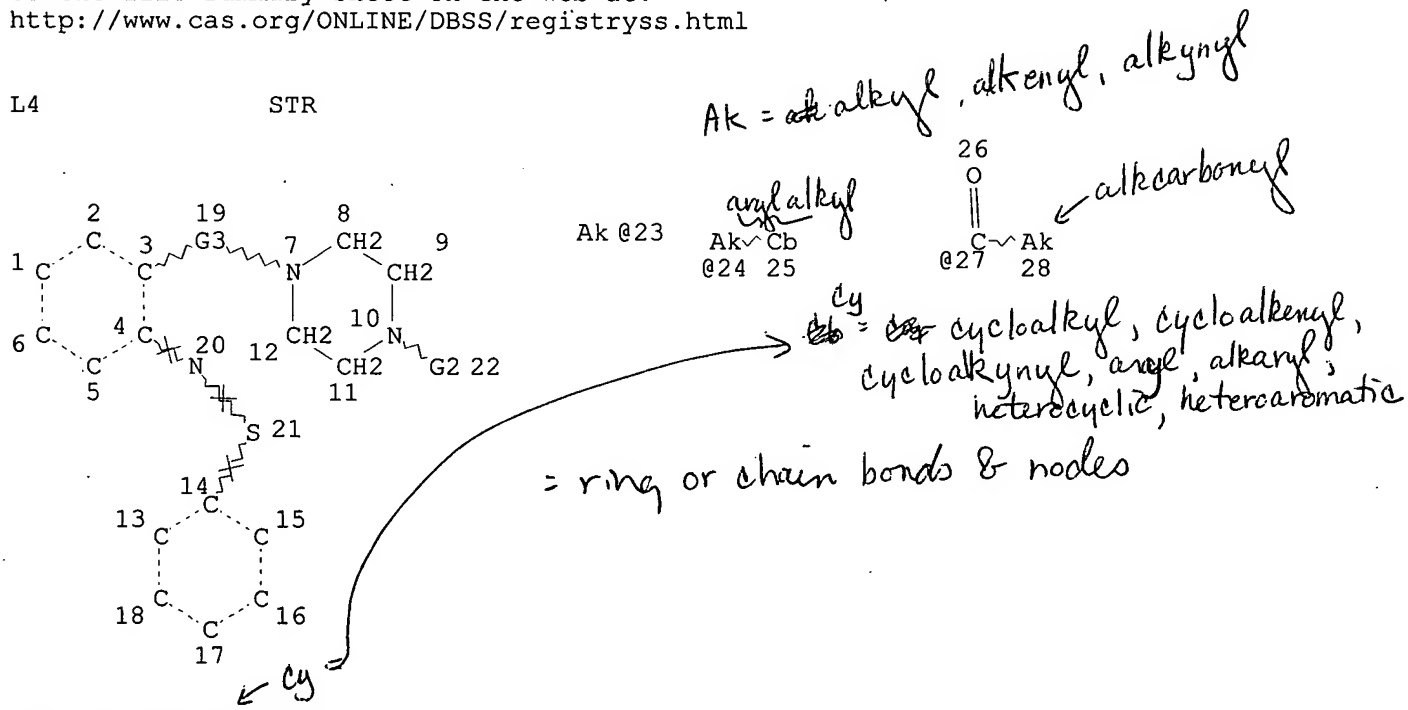


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VAR G2=H/23/CY/24/27
REP G3=(0-1) CH2
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  23
CONNECT IS E2  RC AT  24
CONNECT IS E1  RC AT  28
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  28

STEREO ATTRIBUTES: NONE
L6           53 SEA FILE=REGISTRY SSS FUL L4
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100.0% PROCESSED 13069 ITERATIONS 53 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 10:38:06 ON 07 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 7 Jan 2004 VOL 140 ISS 2
FILE LAST UPDATED: 6 Jan 2004 (20040106/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L4 STR
L6 53 SEA FILE=REGISTRY SSS FUL L4
L7 12 SEA FILE=CAPLUS ABB=ON L6

FILE 'USPATFULL' ENTERED AT 10:38:06 ON 07 JAN 2004

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 Jan 2004 (20040106/PD)
FILE LAST UPDATED: 6 Jan 2004 (20040106/ED)
HIGHEST GRANTED PATENT NUMBER: US6675388
HIGHEST APPLICATION PUBLICATION NUMBER: US2004003444
CA INDEXING IS CURRENT THROUGH 6 Jan 2004 (20040106/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 Jan 2004 (20040106/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2003

>>> USPAT2 is now available. USPATFULL contains full text of the original, i.e., the earliest published granted patents or applications. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. A USPATFULL record contains not only the original published document but also a list of any subsequent publications. The publication number, patent kind code, and publication date for all the US publications for an invention are displayed in the PI (Patent Information) field of USPATFULL records and may be searched in standard search fields, e.g., /PN, /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<

```
>>>  through the new cluster USPATALL.  Type FILE USPATALL to          <<<
>>>  enter this cluster.                                               <<<
>>>                                                                    <<<
>>>  Use USPATALL when searching terms such as patent assignees,       <<<
>>>  classifications, or claims, that may potentially change from     <<<
>>>  the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L4              STR
L6           53 SEA FILE=REGISTRY SSS FUL L4
L8            9 SEA FILE=USPATFULL ABB=ON  L6


=> dup rem l7,l8
FILE 'CAPLUS' ENTERED AT 10:38:10 ON 07 JAN 2004
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FILE 'USPATFULL' ENTERED AT 10:38:10 ON 07 JAN 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L7
PROCESSING COMPLETED FOR L8
L10          20 DUP REM L7 L8 (1 DUPLICATE REMOVED)
                ANSWERS '1-12' FROM FILE CAPLUS
                ANSWERS '13-20' FROM FILE USPATFULL

=> d ibib abs hitstr 1-20; fil cao; d que nos l9; fil hom

L10  ANSWER 1 OF 20  CAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         1999:495123  CAPLUS
DOCUMENT NUMBER:          131:129760
TITLE:                    Preparation of sulfonamidobenzenehydroxamates and
                          analogs as matrix metalloproteinase and TACE
                          inhibitors
INVENTOR(S):              Levin, Jeremy Ian; Du, Mila T.; Venkatesan, Aranapakam
                          Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu,
                          Yansong
PATENT ASSIGNEE(S):       American Cyanamid Co., USA
SOURCE:                   U.S., 68 pp.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
     ---------------   ----  --------       ---------------   --------
     US 5929097         A    19990727       US 1997-944593    19971006
PRIORITY APPLN. INFO.:                   US 1996-28504P   P  19961016
OTHER SOURCE(S):          MARPAT 131:129760
AB   RSO2N(CH2R7)ZCONHOH [I; R = (un)substituted (hetero)aryl; R7 = H, alkyl,
     Ph, etc.; Z = (un)substituted phenylene or -naphthylene] were prepd.
     Thus, 2-(H2N)C6H4CO2Me was amidated by 4-(MeO)C6H4SO2Cl and the
     N-benzylated product converted in 2 steps to I [R = C6H4(OMe)-4, R7 = Ph,
     Z = 1,2-phenylene].  Data for biol. activity of I were given.
IT   206548-68-7P 206549-86-2P 206549-98-6P
     206550-01-8P 206550-02-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
```
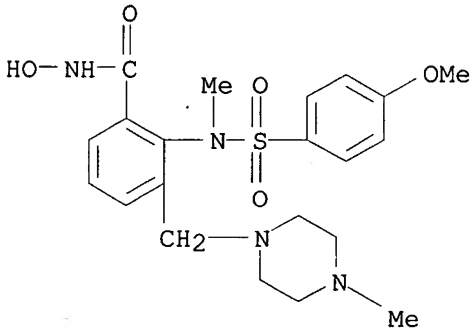
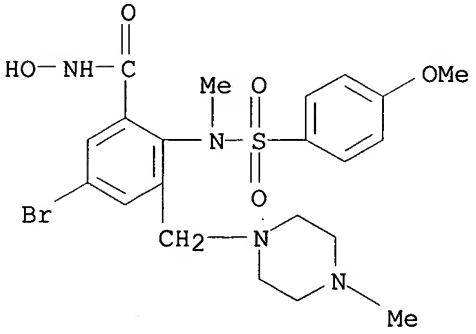

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

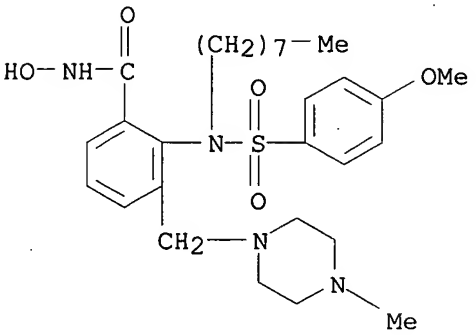
RN 206548-68-7 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



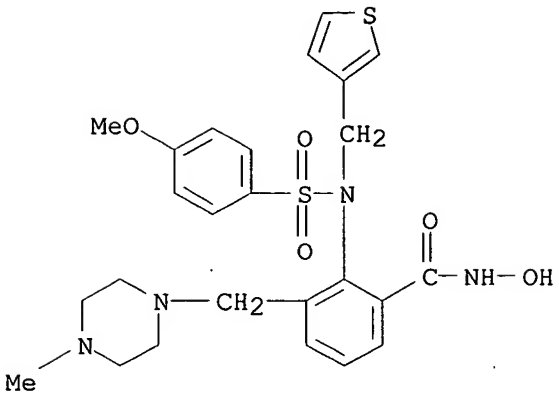
RN 206549-86-2 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



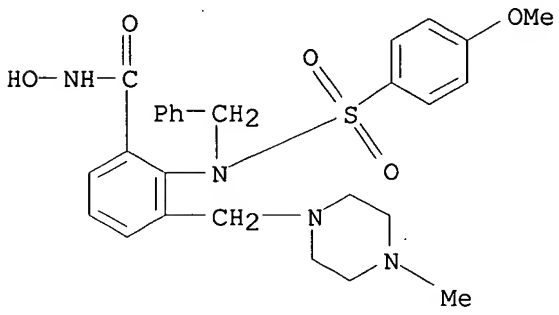
RN 206549-98-6 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]octylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 206550-01-8 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl](3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

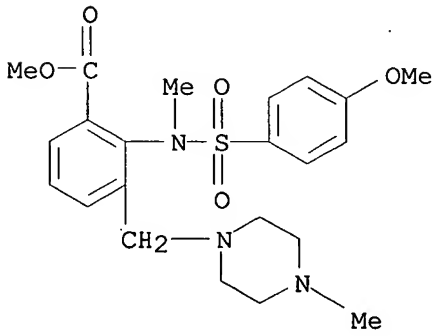


RN 206550-02-9 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl](phenylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



IT **206548-66-5P 206548-67-6P 206549-85-1P 206549-97-5P 206550-00-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

RN 206548-66-5 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 206548-67-6 CAPLUS
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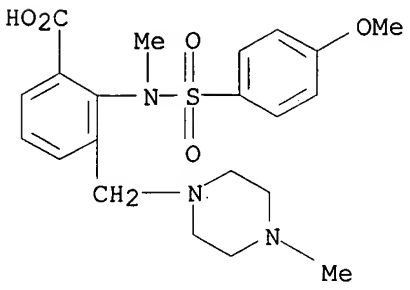
piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 206549-85-1 CAPLUS
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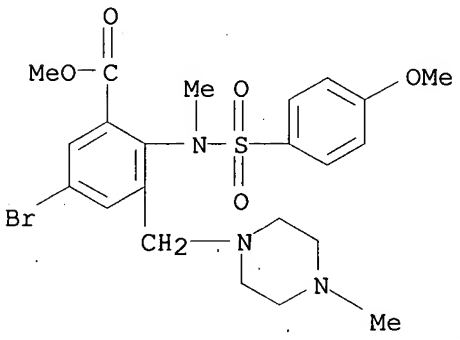

RN 206549-97-5 CAPLUS
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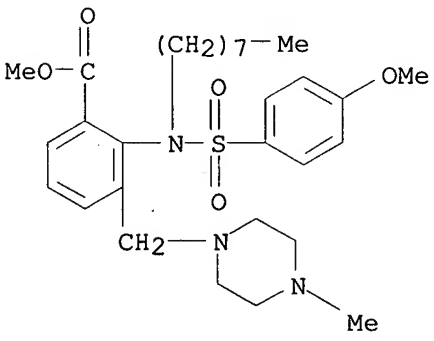
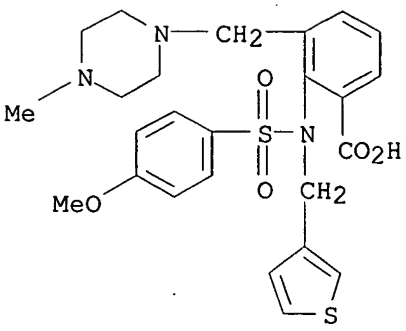


RN 206550-00-7 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl](3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

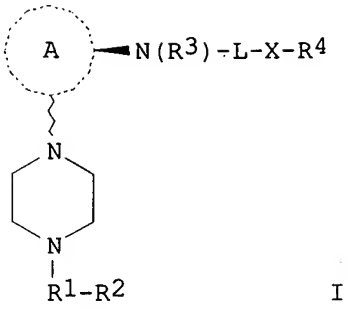


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434371 CAPLUS
DOCUMENT NUMBER: 139:22109
TITLE: Preparation of piperazinyl carboxamides, sulfonamides, ureas and related compounds as CCR3 receptor antagonists for treating asthma
INVENTOR(S): Du Bois, Daisy Joe; Kertesz, Denis John; Sjogren, Eric Brian; Smith, David Bernard; Wang, Beihan
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045393	A1	20030605	WO 2002-EP13217	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003176441	A1	20030918	US 2002-307159	20021129
US 2003229121	A1	20031211	US 2002-307130	20021129

PRIORITY APPLN. INFO.: US 2001-334655P P 20011130
US 2001-334653P P 20011130
US 2001-334819P P 20011130
OTHER SOURCE(S): MARPAT 139:22109
GI



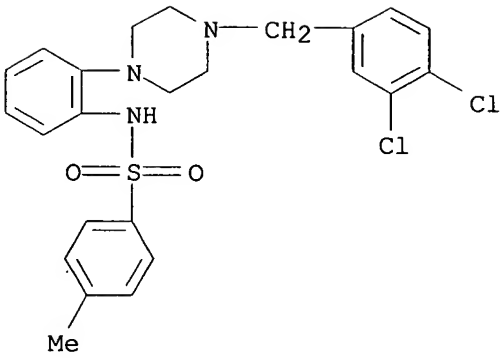
I

AB The present invention relates to piperazinyl carboxamides, sulfonamides, ureas and related compds. (shown as I; variables defined below; e.g. trans-1-[4-[4-(4-chlorobenzyl)piperazin-1-yl]tetrahydrofuran-3-yl]-3-(3,4,5-trimethoxyphenyl)urea dihydrochloride). The compds. are useful as CCR3 receptor antagonists by blocking the ability of the CCR-3 receptor to bind RANTES, MCP-3 and eotaxin and thereby preventing the recruitment of eosinophils, and therefore, may be used for treatment of CCR3 mediated diseases such as asthma or for diagnosis. Five pharmaceutical formulations are described. Seven example prepns. of I are included. For example, the above compd. was prepd. in 77% yield from trans-4-[4-(4-chlorobenzyl)piperazin-1-yl]tetrahydrofuran-3-ylamine (0.41 mmol) and 5-isocyanato-1,2,3-trimethoxybenzene (0.50 mmol) in CH2Cl2; prepn. of the amine is also described. IC50 values for inhibiting the binding of 125I eotaxin to CCR-3 L1.2 transfectant cells were detd. for 4 examples of I, e.g. 0.1099 .mu.M for the above example. For I: R1 is (C1-C2)alkylene; R2 is (un)substituted phenyl; R3 is H, C1-6 alkyl, acyl, aryl, or aryl C1-6-alkyl; ring A is a C3-7 cycloalkyl, heterocyclyl, or (un)substituted phenyl; L is -C(O)-, -C(S)-, -SO2-, -C(O)N(Ra)-, -C(S)N(Ra)-, -SO2N(Ra)-, -C(O)O-, -C(S)O-, -S(O)2O-; where Ra is H, C1-6 alkyl, acyl, aryl, aryl C1-6 alkyl, C1-6-alkoxycarbonyl, or benzyloxycarbonyl. X is absent, -(CR'R'')O-, -(CR'R'')S-, -(CR'R'')NRb- or C1-6 alkylene; where R' and R'' = H or C1-6-alkyl, and Rb is H or C1-6 alkyl; R4 is aryl or heteroaryl; provided that I is not 1-[2-[4-(3,4-dichlorobenzyl)piperazin-1-yl]cyclohexyl]-3-(3-methoxyphenyl)urea; and provided that when ring A is Ph or cyclohexyl, then R2 is substituted Ph.

IT **538342-67-5P**, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)

RN 538342-67-5 CAPLUS

CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



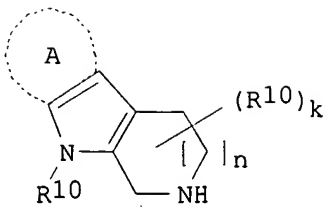
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849607 CAPLUS
DOCUMENT NUMBER: 137:353007
TITLE: Preparation of .beta.-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases
INVENTOR(S): Bhisetti, Govinda R.; Saunders, Jeffrey O.; Murcko, Mark A.; Lepre, Christopher A.; Britt, Shawn D.; Come, Jon H.; Deninger, David D.; Wang, Tianshang
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088101	A2	20021107	WO 2002-US13741	20020429
WO 2002088101	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003095958	A1	20030522	US 2002-136576	20020429

PRIORITY APPLN. INFO.:
US 2001-287169P P 20010427
US 2001-301049P P 20010626
US 2001-342263P P 20011218

OTHER SOURCE(S): MARPAT 137:353007
GI



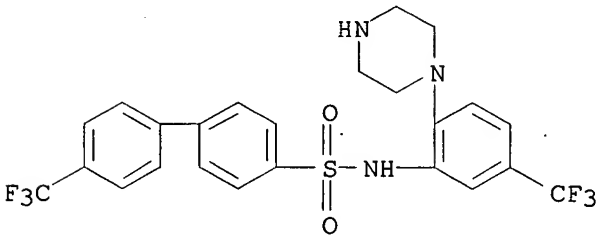
I

AB The present invention relates to a wide variety of inhibitors (e.g. naphthalene-1-carboxylic acid N-[2-(3,4-dichlorophenyl)-4-(piperazin-1-yl)pyrimidin-5-yl]amide; 9-[(naphthalen-2-yl)methyl]-6-[(3-trifluoromethylbenzyl)oxy]-2,3,4,9-tetrahydro-1H-.beta.-carboline; 4-(biphenyl-4-yl)piperidine-3-carboxylic acid N-(1-(naphthalen-2-yl)ethyl)amide) of aspartic proteinases, particularly, BACE. The present invention also relates to compns. thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases. The inhibitors have the following structural features: HB-1, HPB-4; and at least one of HPB-2 and HPB-3, wherein: HB-1 is a 1st H bonding moiety capable of forming up to four H bonds with the carboxylate O atoms of Asp-228 and Asp-32 of BACE-1; HPB-2 is a 2nd hydrophobic moiety capable of assocg. with substantially all residues in the flap binding pocket; HPB-3 is a 3rd hydrophobic moiety capable of assocg. with substantially all residues in the P2' binding pocket; HPB-4 is a 4th hydrophobic moiety capable of inducing favorable interactions with the Ph ring of at least two of Tyr-71, Phe-108 and Trp-76. In I (e.g. [6-(2-difluoromethoxybenzyloxy)-1,2,3,4-tetrahydro-.beta.-carbolin-9-yl]naphthalen-1-ylmethanone), one set of the claimed compds., A is a five or six membered aryl ring having 0-2 heteroatoms independently selected from N, O or S, wherein: A has at least one R10 substituent and up to three more substituents selected from R10 or J; k is 0 or 1; n is 0-2; J is halogen, -R', -OR', -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R')2, -SR', -S(O)R', -S(O)N(R')2, -SO2R', -C(O)R', -CO2R', -C(O)N(R')2, -N(R')C(O)R', -N(R')C(O)OR', -N(R')C(O)N(R')2, or -OC(O)N(R')2, wherein R' is H, aliph., heterocyclyl, heterocyclyl-alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; wherein R' is optionally substituted with up to 3 substituents selected independently from -R11, -OR11, -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R11)2, -SR11, -S(O)R11, -S(O)N(R11)2, -SO2R11, -C(O)R11, -CO2R11, -C(O)N(R11)2, -N(R11)C(O)R', -N(R11)C(O)OR11, -N(R11)C(O)N(R11)2, or -OC(O)N(R11)2. R11 is H, (C1-C6)-alkyl, (C2-C6)-alkenyl or alkynyl, or (C3-C6)cycloalkyl; R10 is P1-R1-P2-R2-W; P1 and P2 each are independently: absent or aliph.; R1 and R2 each are independently: absent or R; R is a suitable linker; W is a five to eleven membered monocyclic or bicyclic, arom. or nonarom. ring having zero to three heteroatoms independently selected from O, S, N, or NH, wherein W has up to 3 substituents independently selected from J. Ranges of Ki values (>30, 3-30 and <3 .mu.M) for inhibition of BACE-1 are tabulated for .apprx.500 compds. Although the methods of prepn. are not claimed, 30 example prepns. are included.

IT **474329-75-4P**, 4'-Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474329-76-5P**, 4'-Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide trifluoroacetate **474331-10-7P**, Naphthalene-1-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-11-8P**, Naphthalene-2-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-12-9P**, Biphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-44-7P**, 2'-Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-50-5P**, 4'-Trifluoromethylbiphenyl-4-sulfonic acid N-(3',4'-dichloro-4-(piperazin-1-

yl)biphenyl-3-yl)amide **474331-51-6P**, 3'-Chlorobiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-52-7P**, 4'-Chlorobiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-53-8P**, 3'-Methylbiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-54-9P**, 4'-Methylbiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of .beta.-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases)

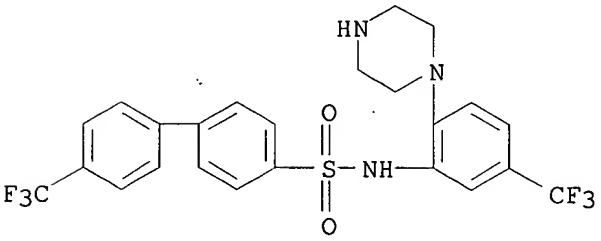
RN 474329-75-4 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474329-76-5 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-4'-(trifluoromethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

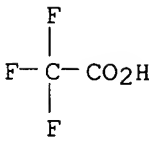
CM 1

CRN 474329-75-4
CMF C24 H21 F6 N3 O2 S

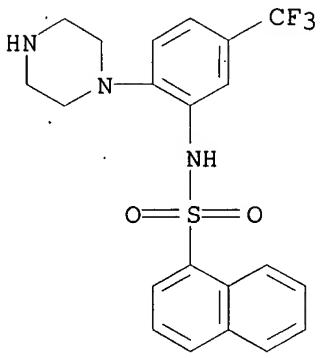


CM 2

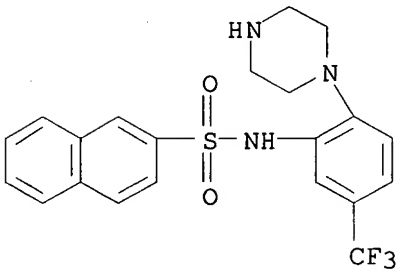
CRN 76-05-1
CMF C2 H F3 O2



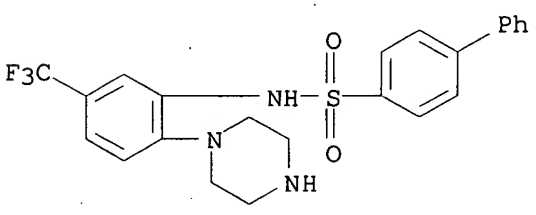
RN 474331-10-7 CAPLUS
CN 1-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



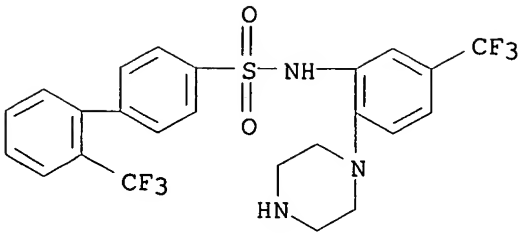
RN 474331-11-8 CAPLUS
CN 2-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



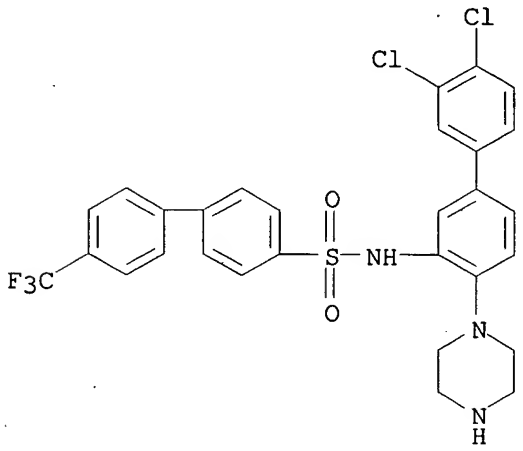
RN 474331-12-9 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



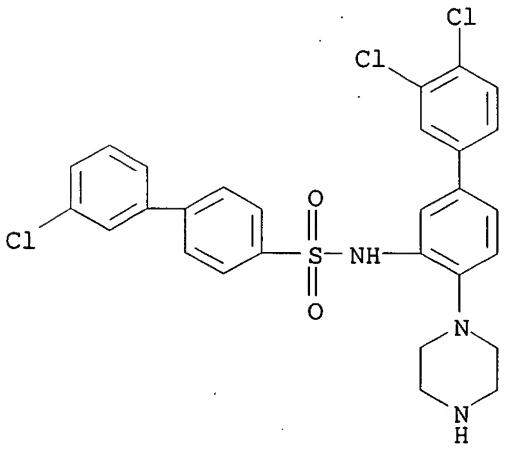
RN 474331-44-7 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



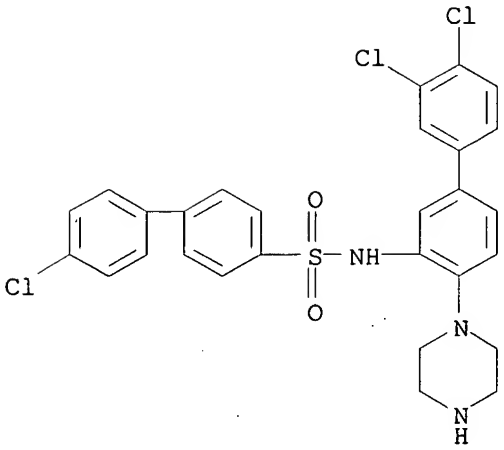
RN 474331-50-5 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



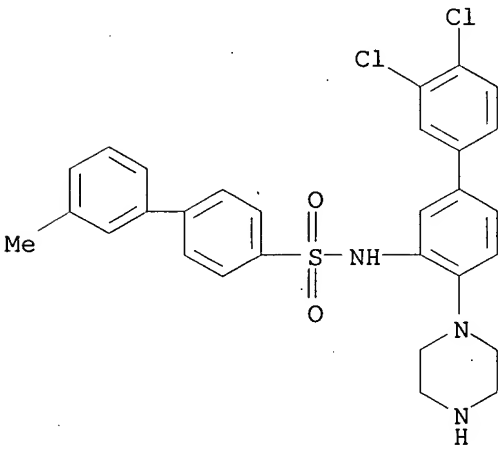
RN 474331-51-6 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, 3'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



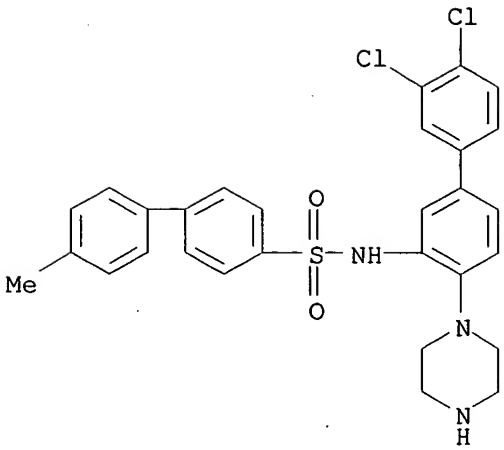
RN 474331-52-7 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, 4'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



RN 474331-53-8 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-3'-methyl- (9CI) (CA INDEX NAME)



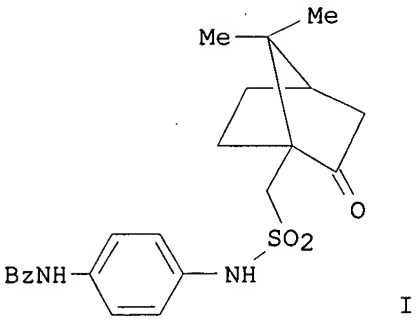
RN 474331-54-9 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-4'-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:465810 CAPLUS
DOCUMENT NUMBER: 137:46797
TITLE: Diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors
INVENTOR(S): Snyder, James P.; Liotta, Dennis C.; Venkatesan, Hariharan; Wang, Minmin; Davis, Matthew C.
PATENT ASSIGNEE(S): Emory University, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047679	A2	20020620	WO 2001-US49303	20011217
WO 2002047679	C1	20030130		
WO 2002047679	A3	20030612		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002031098	A5	20020624	AU 2002-31098	20011217
US 2002128208	A1	20020912	US 2001-23603	20011217

PRIORITY APPLN. INFO.: US 2000-255946P P 20001215
WO 2001-US49303 W 20011217
OTHER SOURCE(S): MARPAT 137:46797
GI



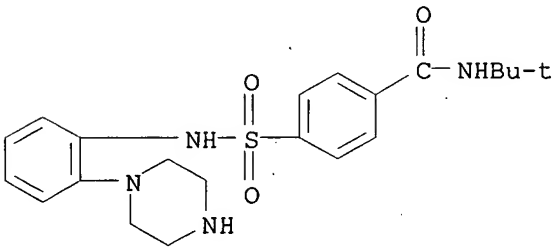
I

AB The title compds. were prepd. as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

IT **438192-11-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

RN 438192-11-1 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1-piperazinyl)phenyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:251349 CAPLUS

DOCUMENT NUMBER: 137:304258

TITLE: Anthranilate sulfonamide hydroxamate TACE inhibitors. Part 2: SAR of the acetylenic P1' group

AUTHOR(S): Levin, J. I.; Chen, J. M.; Du, M. T.; Nelson, F. C.; Killar, L. M.; Skala, S.; Sung, A.; Jin, G.; Cowling, R.; Barone, D.; March, C. J.; Mohler, K. M.; Black, R. A.; Skotnicki, J. S.

CORPORATE SOURCE: Wyeth-Ayerst Research, Pearl River, NY, 10965, USA

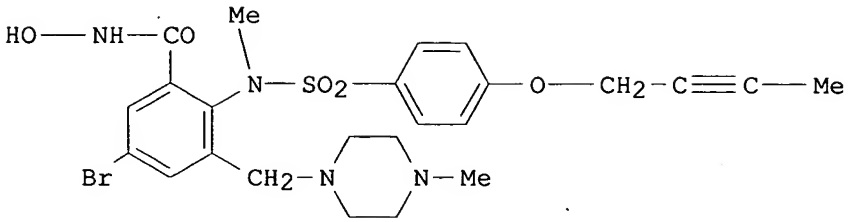
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(8), 1199-1202
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



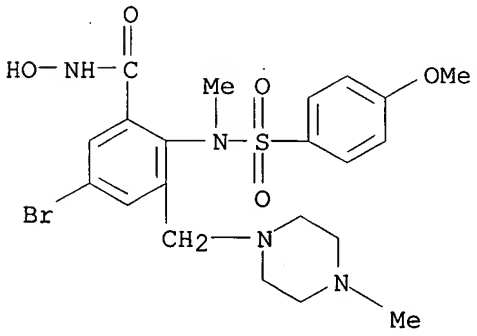
I

AB The SAR of a series of potent sulfonamide hydroxamate TACE inhibitors bearing novel acetylenic P1' groups was explored. In particular, compd. I bearing a butynyloxy P1' moiety has excellent in vitro potency against isolated TACE enzyme and in cells, good selectivity over MMP-1 and oral activity in an in vivo model of TNF-.alpha. prodn. It has been postulated that agents that inhibit TACE, and thereby reduce levels of sol. TNF-.alpha., might offer an effective treatment for rheumatoid arthritis.

IT **206549-86-2P 470662-87-4P 470662-88-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relations of sulfonamide hydroxamate TACE inhibitors)

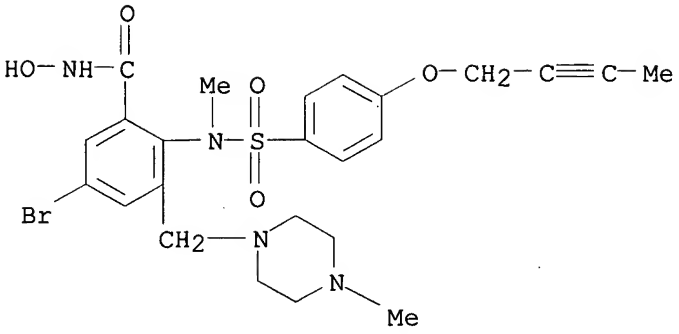
RN 206549-86-2 CAPLUS

CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

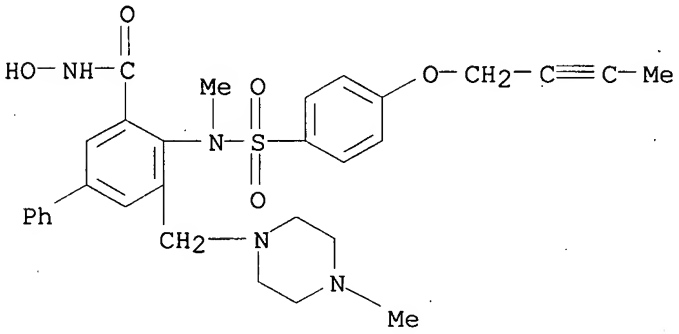


RN 470662-87-4 CAPLUS

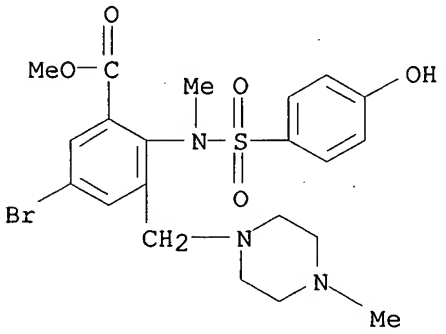
CN Benzamide, 5-bromo-2-[[[4-(2-butynyloxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



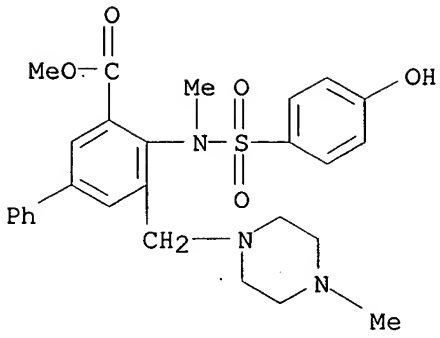
RN 470662-88-5 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 4-[[[4-(2-butynyloxy)phenyl]sulfonyl]methyl amino]-N-hydroxy-5-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



IT **470662-90-9P 470662-91-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relations of sulfonamide hydroxamate TACE inhibitors)
RN 470662-90-9 CAPLUS
CN Benzoic acid, 5-bromo-2-[[(4-hydroxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 470662-91-0 CAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 4-[[(4-hydroxyphenyl)sulfonyl]methylamino]-5-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

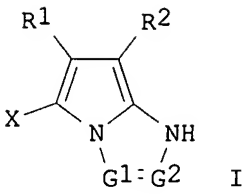


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

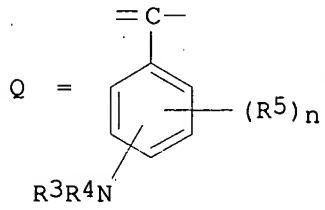
L10 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:441258 CAPLUS
DOCUMENT NUMBER: 135:53457
TITLE: Silver halide color photographic material containing pyrrolotriazole cyan coupler
INVENTOR(S): Tateishi, Keiichi; Mikoshiba, Takashi; Matsuda, Naoto
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 75 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001163887	A2	20010619	JP 2000-282530	20000918
US 6399291	B1	20020604	US 2000-675213	20000929
US 6495697	B1	20021217	US 2002-121593	20020415

PRIORITY APPLN. INFO.: JP 1999-279838 A 19990930
US 2000-675213 A3 20000929
OTHER SOURCE(S): MARPAT 135:53457
GI



I

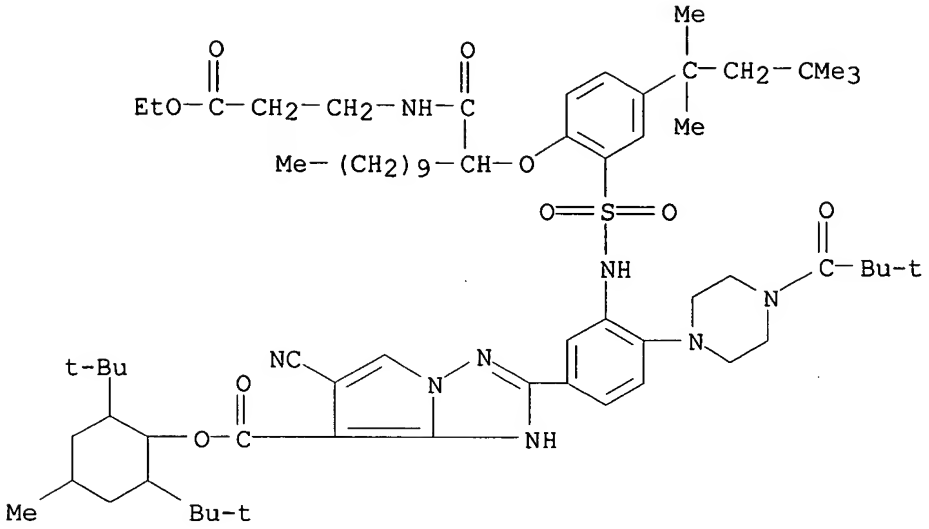


AB The material contains a coupler I [X = H, substituent to be released on coupling with an arom. primary amine color developer; R1, R2 = electron attractive group with Hammett's .sigma.p value .gtoreq.0.20; .sigma.p(R1) + .sigma.p(R2) .gtoreq.0.65; G1, G2 = N, Q (R3 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocycle; R4 = H, substituent linked with C; R3 and R4 may form a ring; R5 = substituent; n = 0-4); .gtoreq.1 of G1-2= N; I may form a dimer or (co)polymer] in .gtoreq.1 layer on a support. The pyrrolotriazole compd. I (X = H, halo, C1-32 alkyloxy, C6-32 aryloxy, C1-32 alkylthio, C6-32 arylthio, C2-32 heterocyclic thio, C2-32 alkyloxycarbonyloxy, C7-32 aryloxycarbonyloxy, C1-32 carbamoyloxy, C3-32 heterocyclic carbonyloxy, 5- or 6-membered C2-32 N-contg. heterocycle linking to a coupling active site with N; R1, R2 = electron attractive group with Hammett's .sigma.p value .gtoreq.0.20; .sigma.p(R1) + .sigma.p(R2) .gtoreq.0.65; G1, G2 = N, Q) is also claimed. The material shows improved color reprodn., colored image stability, and processing stability.

IT **344941-66-8P**
RL: DEV (Device component use); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses)
(silver halide color photog. material contg. pyrrolotriazole cyan coupler)

RN 344941-66-8 CAPLUS

CN 1H-Pyrrolo[1,2-b][1,2,4]triazole-7-carboxylic acid, 6-cyano-2-[4-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-3-[[[2-[[1-[[(3-ethoxy-3-oxopropyl)amino]carbonyl]undecyl]oxy]-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]amino]phenyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylcyclohexyl ester (9CI) (CA INDEX NAME)

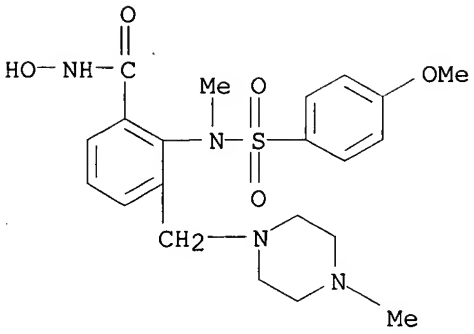


L10 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:780030 CAPLUS
DOCUMENT NUMBER: 136:232093
TITLE: The discovery of anthranilic acid-based MMP inhibitors. Part 3: incorporation of basic amines
AUTHOR(S): Levin, J. I.; Chen, J. M.; Du, M. T.; Nelson, F. C.; Wehr, T.; DiJoseph, J. F.; Killar, L. M.; Skala, S.; Sung, A.; Sharr, M. A.; Roth, C. E.; Jin, G.; Cowling, R.; Di, L.; Sherman, M.; Xu, Z. B.; March, C. J.; Mohler, K. M.; Black, R. A.; Skotnicki, J. S.
CORPORATE SOURCE: Wyeth-Ayerst Research, Pearl River, NY, 10965, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(22), 2975-2978
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

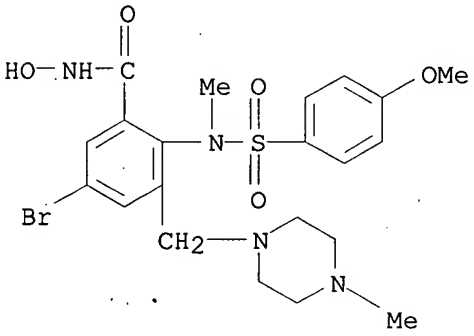
AB Anthranilic acid derivs. bearing basic amines were prepd. and evaluated in vitro and in vivo as inhibitors of MMP-1, MMP-9, MMP-13, and TACE. One piperazine deriv. was identified as a potent, selective, orally active inhibitor of MMP-9 and MMP-13. An example compd. thus tested was N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methylbenzamide.

IT **206548-68-7 206549-86-2 403704-30-3 403704-32-5 403704-33-6 403704-34-7 403704-35-8**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(MMP-inhibiting activity of N-hydroxy-2-[[(4-alkoxyphenyl)sulfonyl]amino]benzamide derivs.)

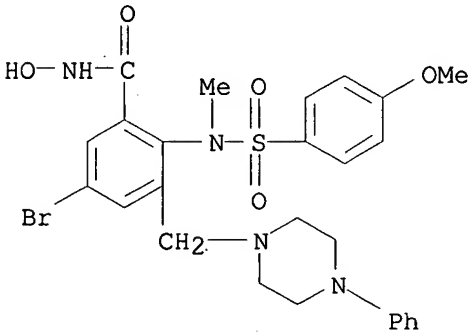
RN 206548-68-7 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



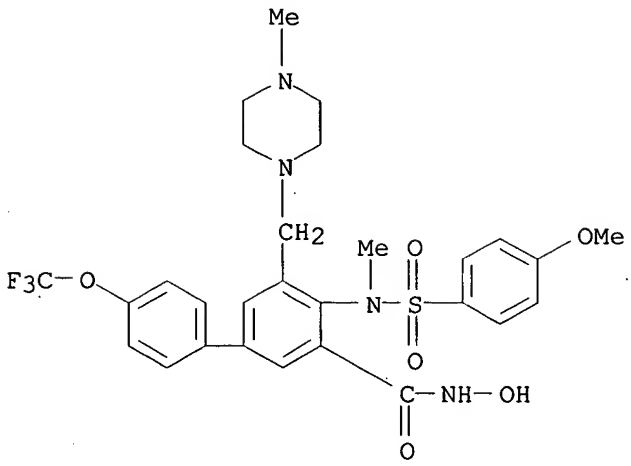
RN 206549-86-2 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



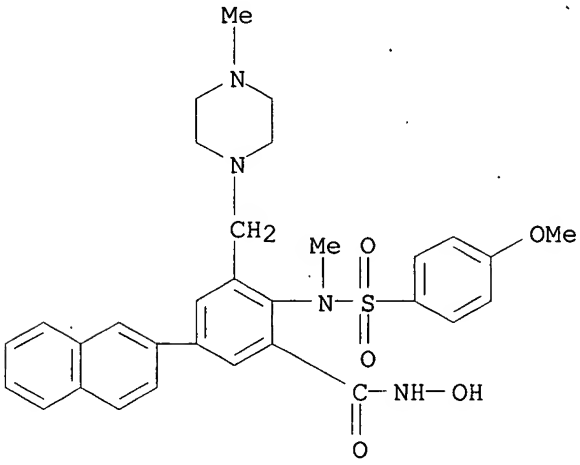
RN 403704-30-3 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



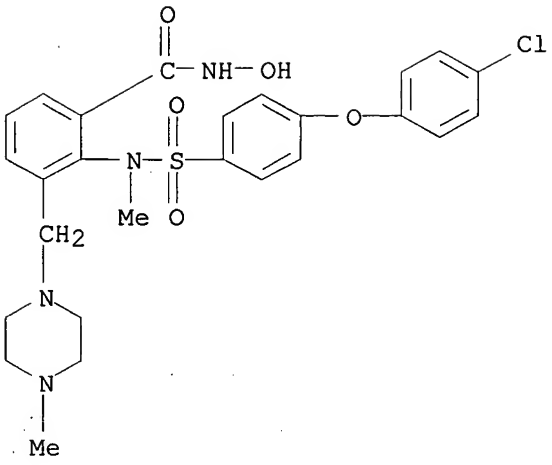
RN 403704-32-5 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, N-hydroxy-4-[[(4-methoxyphenyl)sulfonyl]methylamino]-5-[(4-methyl-1-piperazinyl)methyl]-4'-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



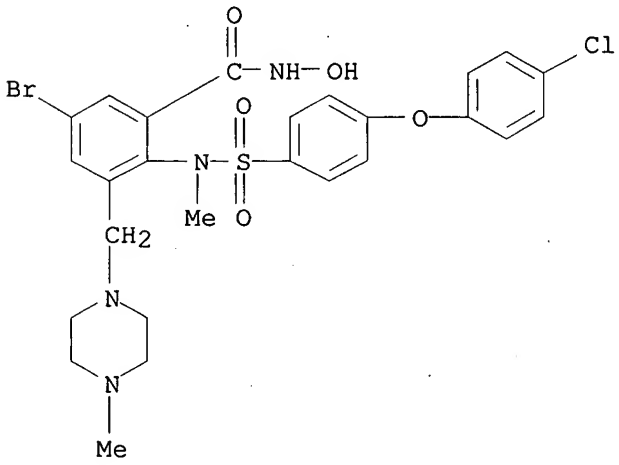
RN 403704-33-6 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



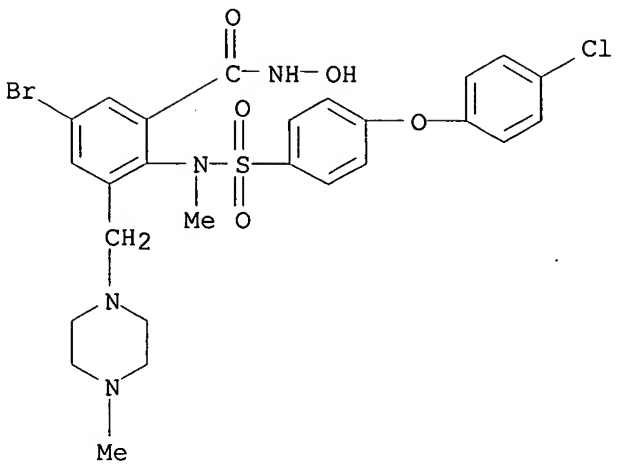
RN 403704-34-7 CAPLUS
CN Benzamide, 2-[[[4-(4-chlorophenoxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 403704-35-8 CAPLUS
CN Benzamide, 5-bromo-2-[[[4-(4-chlorophenoxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



IT **403704-35-8D**, MMP-13 bound
RL: PRP (Properties)
(MMP-inhibiting activity of N-hydroxy-2-[[(4-alkoxyphenyl)sulfonyl]amino]benzamide derivs.)
RN 403704-35-8 CAPLUS
CN Benzamide, 5-bromo-2-[[[4-(4-chlorophenoxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

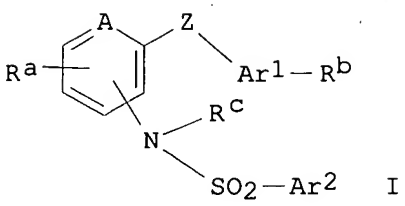


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:640827 CAPLUS
DOCUMENT NUMBER: 131:267057
TITLE: Sulfonamide derivatives and drugs containing the same as the active ingredient
INVENTOR(S): Hidaka, Hiroyoshi; Inoue, Tsutomu; Umezawa, Isao; Nakano, Hiroyuki; Nakamura, Hiroshi; Watanabe, Naofumi; Yokota, Shizumasa; Sasaki, Tomomitsu; Yajima, Yumi
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950237	A1	19991007	WO 1999-JP1621	19990330
W: CA, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 11279138	A2	19991012	JP 1998-83804	19980330
CA 2325997	AA	19991007	CA 1999-2325997	19990330
EP 1072587	A1	20010131	EP 1999-910769	19990330
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
US 6403607	B1	20020611	US 2000-647533	20001002

PRIORITY APPLN. INFO.: JP 1998-83804 A 19980330
WO 1999-JP1621 W 19990330
OTHER SOURCE(S): MARPAT 131:267057
GI



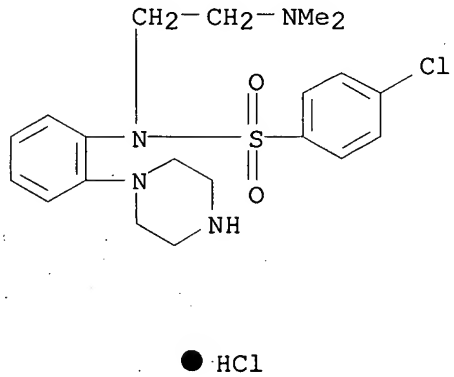
I

AB Sulfonamide derivs. represented by general formula (I) or salts thereof, wherein A represents nitrogen, -CH=, etc.; Z represents oxygen, etc.; Ar1 represents aryl, etc.; Ar2 represents alkyl, etc.; Ra represents hydrogen, etc.; Rb represents halogeno, etc.; and Rc represents alkyl, etc. Because of having radical-scavenging effect, gastric secretion-potentiating effect, anti-HP bacterial effect, etc., these compds. are useful as remedies for peptic ulcer.

IT **245649-65-4P 245649-66-5P 245649-67-6P 245649-68-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfonamide derivs. and antiulcer drugs contg. the same as the active ingredient)

RN 245649-65-4 CAPLUS

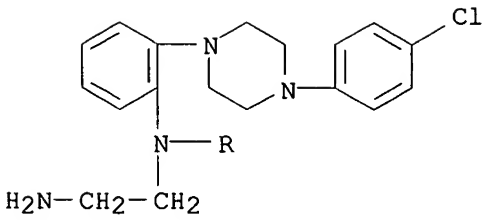
CN Benzenesulfonamide, 4-chloro-N-[2-(dimethylamino)ethyl]-N-[2-(1-piperazinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

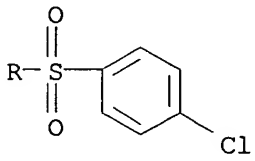


● HCl

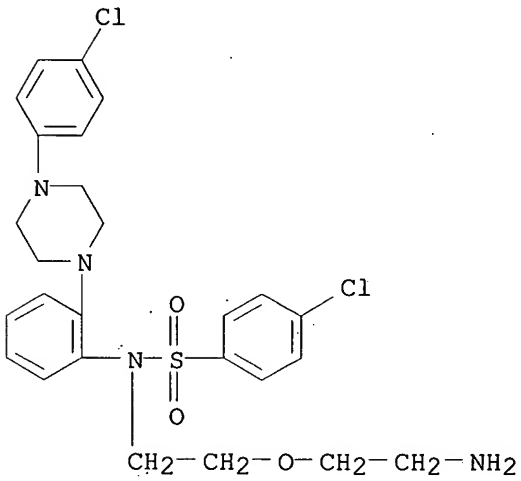
RN 245649-66-5 CAPLUS

CN Benzenesulfonamide, N-(2-aminoethyl)-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

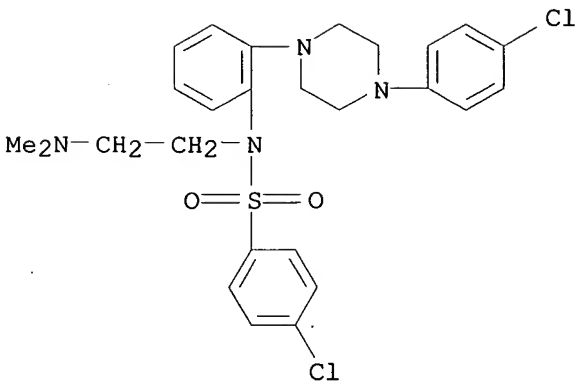




RN 245649-67-6 CAPLUS
CN Benzenesulfonamide, N-[2-(2-aminoethoxy)ethyl]-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 245649-68-7 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]-N-[2-(dimethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:251153 CAPLUS
DOCUMENT NUMBER: 128:308308
TITLE: The preparation and use of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Du Mila, T.; Venkatesan, Aranapakam Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu, Yansong
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

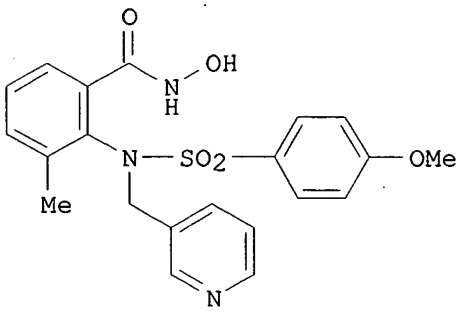
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816503	A2	19980423	WO 1997-US18280	19971008
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9851458	A1	19980511	AU 1998-51458	19971008
AU 731737	B2	20010405		
EP 938471	A1	19990901	EP 1997-946246	19971008
EP 938471	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712525	A	19991019	BR 1997-12525	19971008
CN 1240429	A	20000105	CN 1997-180613	19971008
JP 2001504809	T2	20010410	JP 1998-518448	19971008
AT 210637	E	20011215	AT 1997-946246	19971008
ES 2166102	T3	20020401	ES 1997-946246	19971008

PT 938471	T	20020531	PT 1997-97946246	19971008
ZA 9709233	A	19990415	ZA 1997-9233	19971015
TW 410220	B	20001101	TW 1997-86114187	19971015
KR 2000049196	A	20000725	KR 1999-703294	19990415
HK 1021178	A1	20020404	HK 2000-100090	20000106

PRIORITY APPLN. INFO.: US 1996-732631 A 19961016
WO 1997-US18280 W 19971008

OTHER SOURCE(S): MARPAT 128:308308

GI



II

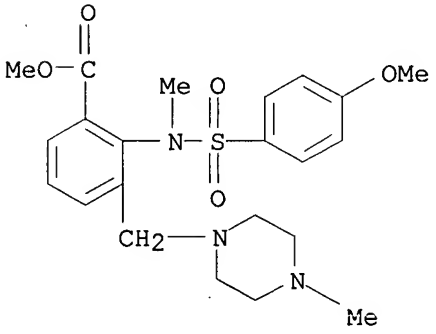
AB The invention relates to novel, low mol. wt., non-peptide inhibitors of matrix metalloproteinases (e.g. gelatinases, stromelysins and collagenases) and TNF-.alpha. converting enzyme (TACE, tumor necrosis factor-.alpha. converting enzyme). The compds. are useful for the treatment of diseases in which these enzymes are implicated such as arthritis, tumor growth and metastasis, angiogenesis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, proteinuria, aneurysmal aortic disease, degenerative cartilage loss following traumatic joint injury, demyelinating diseases of the nervous system, graft rejection, cachexia, anorexia, inflammation, fever, insulin resistance, septic shock, congestive heart failure, inflammatory disease of the central nervous system, inflammatory bowel disease, HIV infection, age related macular degeneration, diabetic retinopathy, proliferative vitreoretinopathy, retinopathy of prematurity, ocular inflammation, keratoconus, Sjogren's syndrome, myopia, ocular tumors, and ocular angiogenesis/neovascularization. The invention compds. are represented by the formula ZSO2N(CH2R7)ACONHOH [I; A = (un)substituted Ph or naphthyl; Z = (un)substituted aryl, heteroaryl, or benzo-fused heteroaryl; R7 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, 5- or 6-membered heteroaryl, cycloalkyl, or cycloheteroalkyl; or R7CH2NA forms a non-arom. 1,2-benzo-fused 7- to 10-membered heterocyclic ring with an optional addn. benzo fusion; where the hydroxamic acid moiety and the sulfonamido moiety are bonded to adjacent carbons on group A], and include pharmaceutically acceptable salts, optical isomers, and diastereomers. Prepns. of over 400 compds., including I and their intermediates, are given. For instance, 2-[(4-methoxybenzenesulfonyl)amino]-3-methylbenzoic acid Me ester (prepn. given) was N-alkylated by 3-picolyl chloride-HCl (83%), followed by hydrolysis of the ester with LiOH in aq. THF (100%), activation with oxalyl chloride, and hydroxamidation with NH2OH.HCl (51%), to give title compd. II. At 50 mg/kg/day in rats with cartilage implants, II gave 44.6% inhibition of cartilage wt. loss, and 51.2% inhibition of cartilage collagen loss.

IT **206548-66-5P 206548-67-6P 206549-85-1P**
206549-97-5P 206550-00-7P 206551-39-5P
206551-61-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of ortho-sulfonamido aryl hydroxamic acids as

matrix metalloproteinase and TACE inhibitors)

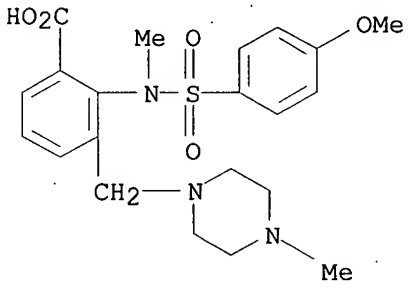
RN 206548-66-5 CAPLUS

CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



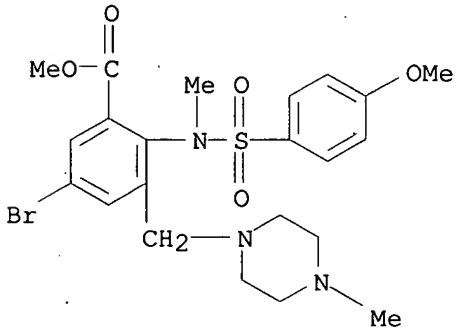
RN 206548-67-6 CAPLUS

CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



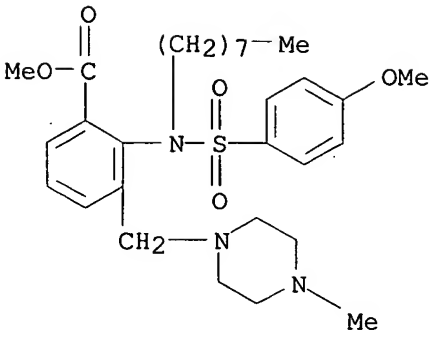
RN 206549-85-1 CAPLUS

CN Benzoic acid, 5-bromo-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

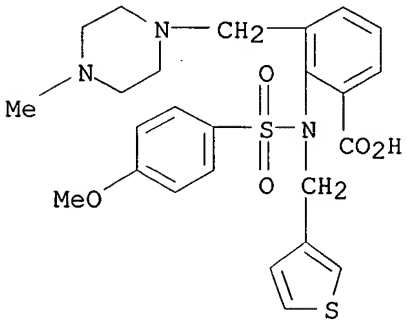


RN 206549-97-5 CAPLUS

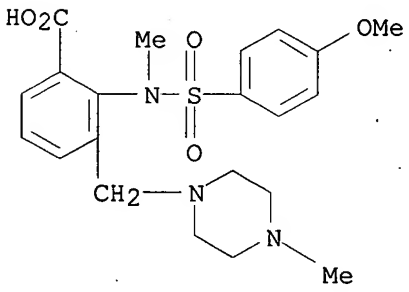
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl]octylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 206550-00-7 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl](3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

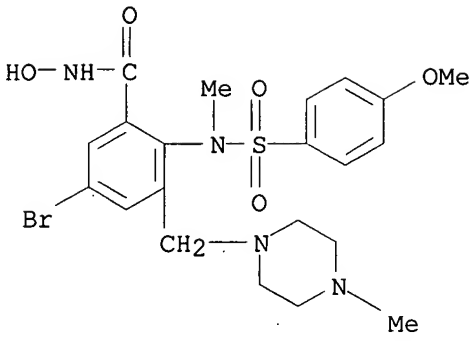


RN 206551-39-5 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, lithium salt (9CI) (CA INDEX NAME)



● Li

RN 206551-61-3 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, monolithium salt (9CI) (CA INDEX NAME)

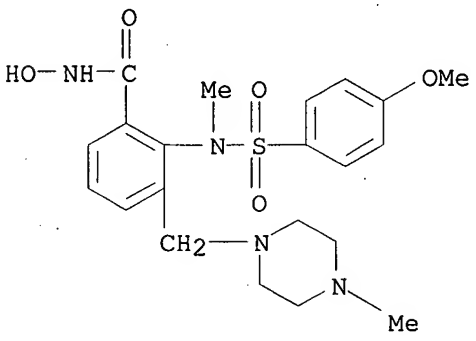


● Li

IT **206548-68-7P 206549-86-2P 206549-98-6P**
206550-01-8P 206550-02-9P 206551-40-8P
206551-62-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

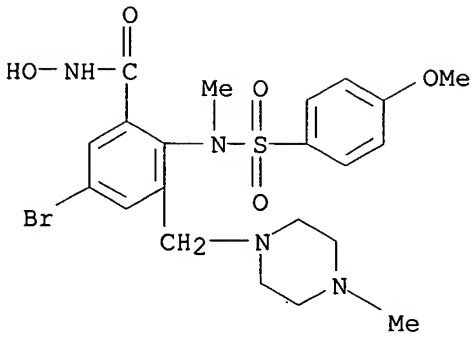
RN 206548-68-7 CAPLUS

CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

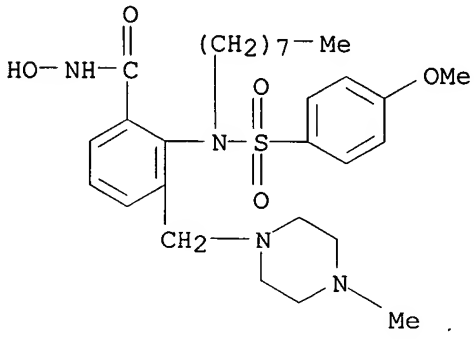


RN 206549-86-2 CAPLUS

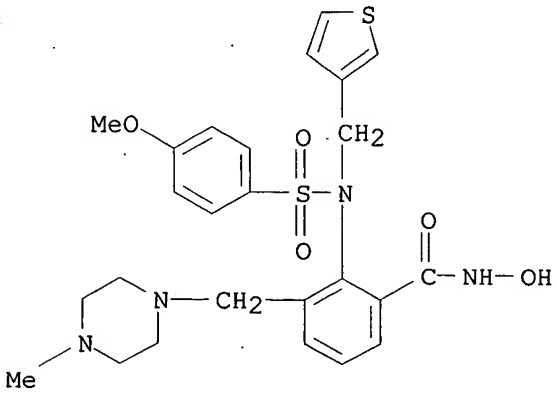
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



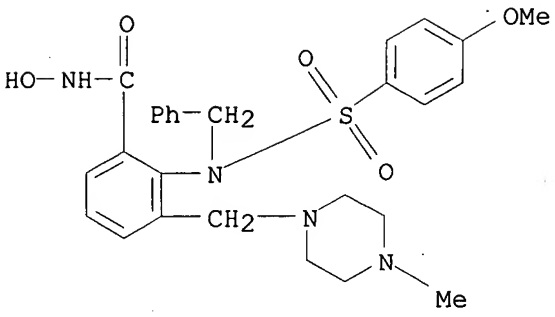
RN 206549-98-6 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]octylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



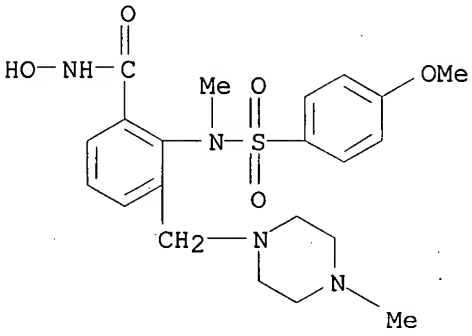
RN 206550-01-8 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl](3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 206550-02-9 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl](phenylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

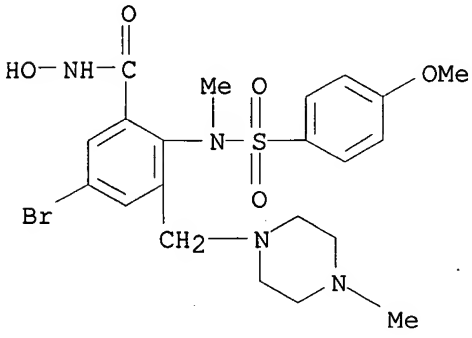


RN 206551-40-8 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 206551-62-4 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



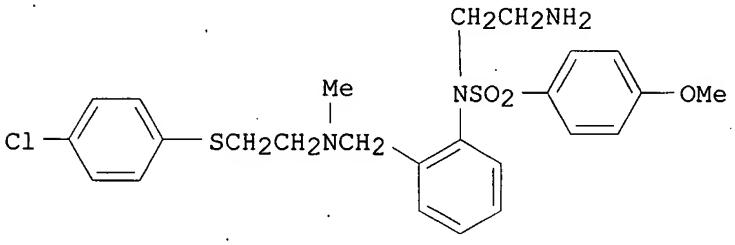
● HCl

L10 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:229089 CAPLUS
DOCUMENT NUMBER: 122:9661
TITLE: Preparation of sulfonylaminobenzylamine derivatives and heterocycle-containing benzylamine derivatives as ulcer inhibitors
INVENTOR(S): Hidaka, Hiroyoshi; Ishikawa, Tomohiko
PATENT ASSIGNEE(S): Hidaka Hiroyoshi, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06072979	A2	19940315	JP 1992-171521	19920608

PRIORITY APPLN. INFO.: JP 1992-171521 19920608
OTHER SOURCE(S): MARPAT 122:9661
GI

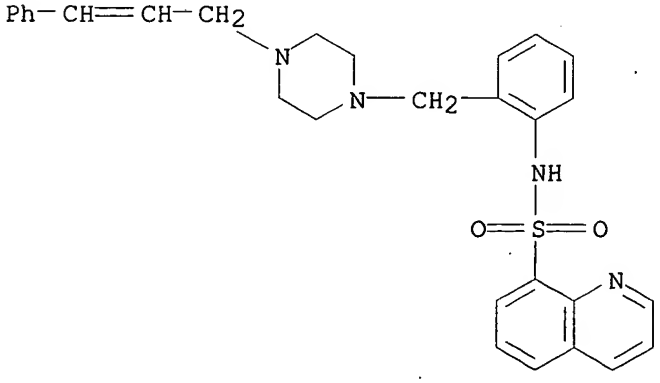


I

AB The title compds. R2Y(R1)NPhCH2X(R3)AR4 [R1 = H, (substituted) alkyl; R2 = (substituted) quinolyl, Ph, etc.; R3 = H, Me; R4 = (substituted) Ph, triazolyl, etc.; X = N, S, etc.; Y = sulfonyl, carbonyl; A = methylene, ethylenethio, etc.; Ph = unsubstituted or methoxy-substituted phenylene] are prepd. Benzylamine deriv. I was prepd. in multiple steps from p-ClC6H4SCH2CH2NH2. In rats dosed with I (100 mg/Kg), the pH in the stomach was 3.6, vs. 1.4 in controls. Formulations contg. title compds. are given.

IT **159452-18-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as ulcer inhibitor)

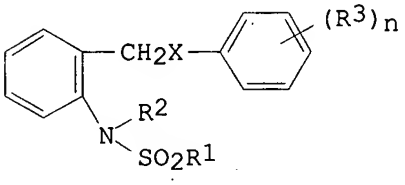
RN 159452-18-3 CAPLUS
CN 8-Quinolinesulfonamide, N-[2-[[4-(3-phenyl-2-propenyl)-1-piperazinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



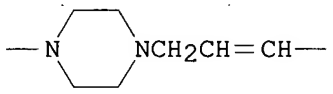
L10 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:539132 CAPLUS
DOCUMENT NUMBER: 119:139132
TITLE: Preparation of quinolinesulfonanilide derivatives as vasodilators and antihypertensives
INVENTOR(S): Hidaka, Hiroyoshi
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04330057	A2	19921118	JP 1991-128383	19910501

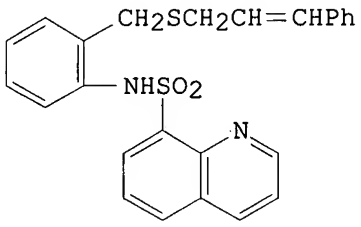
PRIORITY APPLN. INFO.: JP 1991-128383 19910501
OTHER SOURCE(S): MARPAT 119:139132
GI



I



Q



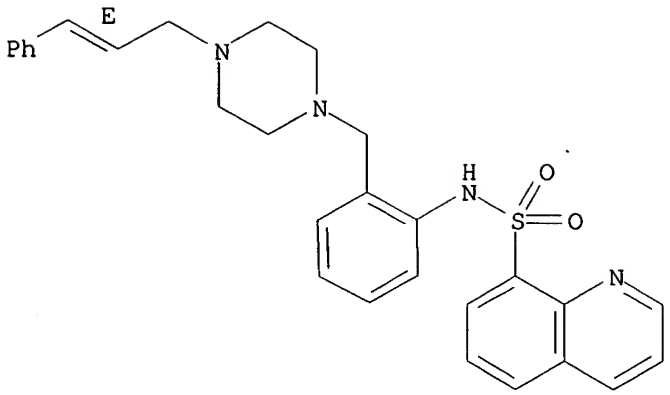
III

AB The title compds. [I; R1 = 3-pyridyl, 8-quinolinyl, 2-acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl; R2 = H, HOCH2CH2, H2NCH2CH2; R3 = alkoxy; X = Q, SCH2CH:CH, etc.; n = 0-3] are prepd. Aq. NaOH was added to a soln. of 0.8 g PhCH:CHCH2SH in MePh with stirring, followed by 1.0 g o-O2NC6H4CH2Cl, and the mixt. was stirred at 60.degree. to give 2.4 g o-RC6H4CH2SCH2CH:CHPh (II; R = NO2), which was dissolved in THF and reduced with SnCl2 and HCl to give 1.9 g amine II (R = NH2), which was dissolved i pyridine and heated with 1.8 g 8-quinolinesulfonyl chloride at 60.degree. to give 3.2 g anilide III. III showed smooth muscle relaxation activity at 4.1 .mu.M.

IT **149757-46-0P 149757-48-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as vasodilator and antihypertensive)

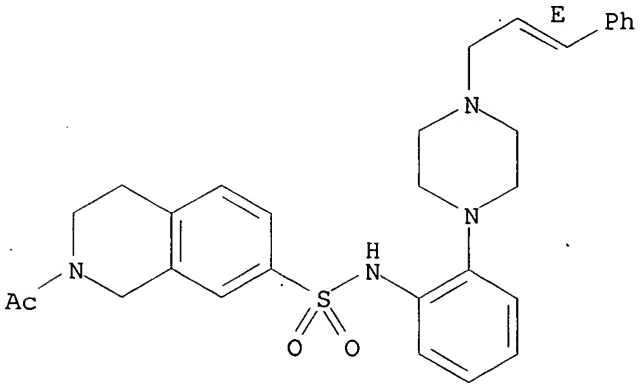
RN 149757-46-0 CAPLUS
CN 8-Quinolinesulfonamide, N-[2-[[4-(3-phenyl-2-propenyl)-1-piperazinyl]methyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 149757-48-2 CAPLUS
CN 7-Isoquinolinesulfonamide, 2-acetyl-1,2,3,4-tetrahydro-N-[2-[4-(3-phenyl-2-propenyl)-1-piperazinyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

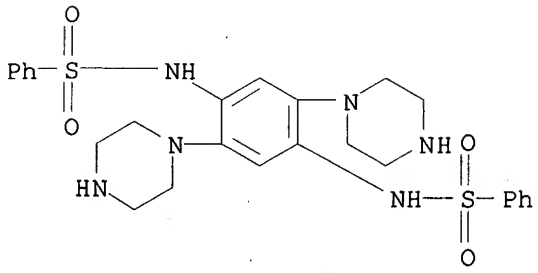


L10 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:459196 CAPLUS
DOCUMENT NUMBER: 69:59196
TITLE: Amination of N,N'-dibenzenesulfonyl-1,4-benzoquinone di-imines: photochemical formation of benzimidazoles
AUTHOR(S): Baxter, I.; Cameron, D. W.
CORPORATE SOURCE: Univ. Chem. Lab., Cambridge, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (14), 1747-52
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 69:59196
GI For diagram(s), see printed CA Issue.
AB 2-Methyl- and 2,5-dimethyl - N,N' - bis(phenylsulfonyl)-1,4-benzoquinone diimines undergo side-chain amination by piperidine or piperazine, a process that has analogy in the quinone series. Geometrical isomerism in several of these diimines is discussed on the basis of N.M.R. spectroscopic evidence. Certain of the nuclear aminated diimine derivatives are converted photochem. into benzimidazole derivs., e.g. I. The scope of this novel process is investigated. 17 references.
IT **19835-96-2P 19835-97-3P 19835-98-4P 19835-99-5P 19836-00-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

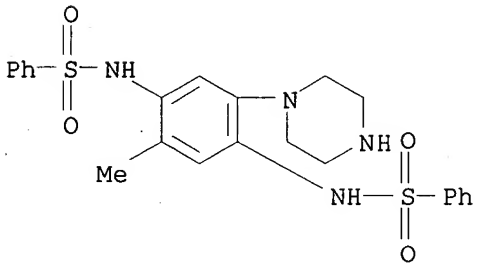
RN 19835-96-2 CAPLUS

CN Benzenesulfonamide, N,N'-(2,5-di-1-piperazinyl-p-phenylene)bis- (8CI) (CA INDEX NAME)



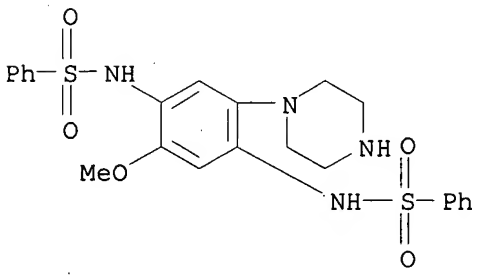
RN 19835-97-3 CAPLUS

CN Benzenesulfonamide, N,N'-[2-methyl-5-(1-piperazinyl)-p-phenylene]bis- (8CI) (CA INDEX NAME)



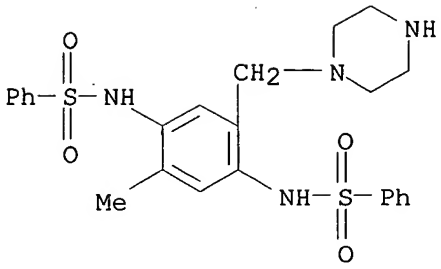
RN 19835-98-4 CAPLUS

CN Benzenesulfonamide, N,N'-[2-methoxy-5-(1-piperazinyl)-p-phenylene]bis- (8CI) (CA INDEX NAME)

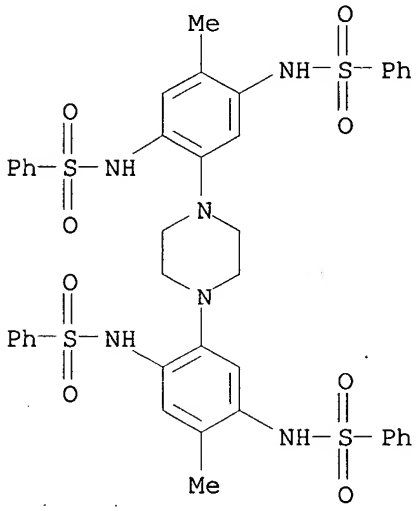


RN 19835-99-5 CAPLUS

CN Benzenesulfonamide, N,N'-[2-methyl-5-(1-piperazinylmethyl)-p-phenylene]bis- (8CI) (CA INDEX NAME)



RN 19836-00-1 CAPLUS
CN Benzenesulfono-m-toluidide, 5',5'''-(1,4-piperazinediyl)bis[4'-benzenesulfonamido- (8CI) (CA INDEX NAME)



L10 ANSWER 13 OF 20 USPATFULL on STN
ACCESSION NUMBER: 2003:325139 USPATFULL
TITLE: Piperidine CCR-3 receptor antagonists
INVENTOR(S): Du Bois, Daisy Joe, Palo Alto, CA, UNITED STATES
Kertesz, Denis John, Mountain View, CA, UNITED STATES
Sjogren, Eric Brian, Mountain View, CA, UNITED STATES
Smith, David Bernard, San Mateo, CA, UNITED STATES
Wang, Beihan, Santa Clara, CA, UNITED STATES
PATENT ASSIGNEE(S): Syntex (U.S.A.) LLC (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003229121	A1	20031211	
APPLICATION INFO.:	US 2002-307130	A1	20021129	(10)

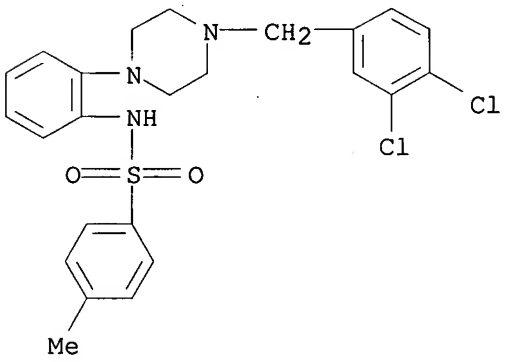
	NUMBER	DATE	
PRIORITY INFORMATION:	US 2001-334653P	20011130	(60)
	US 2001-334819P	20011130	(60)
	US 2001-334655P	20011130	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROCHE PALO ALTO LLC, 3431 HILLVIEW AVENUE, PALO ALTO, CA, 94304

NUMBER OF CLAIMS: 28
EXEMPLARY CLAIM: 1
LINE COUNT: 2889
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides compounds of Formula (I): ##STR1##

wherein: R.sup.1-R.sup.5, A, L, and X have any of the values defined in the specification that are CCR-3 receptor antagonists, pharmaceutical compositions containing them, methods for their use, and methods and intermediates useful for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **538342-67-5P**, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide
(drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)
RN 538342-67-5 USPATFULL
CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 20 USPATFULL on STN
ACCESSION NUMBER: 2003:251652 USPATFULL
TITLE: Piperazine CCR-3 receptor antagonists
INVENTOR(S): Du Bois, Daisy Joe, Palo Alto, CA, UNITED STATES
Kertesz, Denis John, Mountain View, CA, UNITED STATES
Sjogren, Eric Brian, Mountain View, CA, UNITED STATES
Smith, David Bernard, San Mateo, CA, UNITED STATES
Wang, Beihan, Santa Clara, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003176441	A1	20030918	
APPLICATION INFO.:	US 2002-307159	A1	20021129	(10)

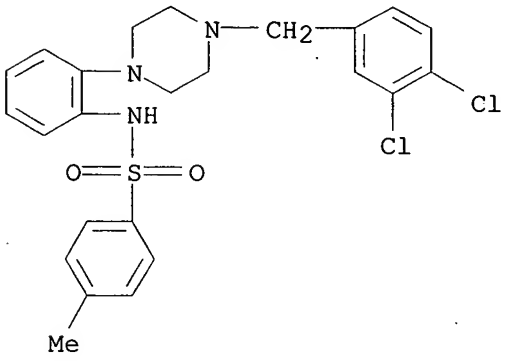
	NUMBER	DATE	
PRIORITY INFORMATION:	US 2001-334655P	20011130	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROCHE PALO ALTO LLC, 3431 HILLVIEW AVENUE, PATENT DEPT., M/S A2-250, PALO ALTO, CA, 94304
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 1442
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of Formula (I): ##STR1##

wherein: R.sup.1--R.sup.4, A, L, and X have any of the values defined in the specification that are CCR-3 receptor antagonists, pharmaceutical compositions containing them, methods for their use, and methods and intermediates useful for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **538342-67-5P**, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide
(drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)
RN 538342-67-5 USPATFULL
CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 20 USPATFULL on STN

ACCESSION NUMBER:	2003:220287 USPATFULL		
TITLE:	CCR-3 receptor antagonists (I)		
INVENTOR(S):	Bois, Daisy Joe Du, Palo Alto, CA, UNITED STATES Wang, Beihan, Santa Clara, CA, UNITED STATES		

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003153578	A1	20030814
APPLICATION INFO.:	US 2002-306820	A1	20021127 (10)

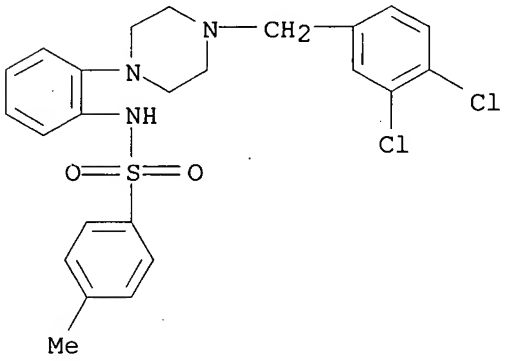
	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-334819P	20011130 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	ROCHE PALO ALTO LLC, 3401 HILLVIEW AVENUE, INTELLECTUAL PROPERTY LAW DEPT., MS A2-250, PALO ALTO, CA, 94304-9819
NUMBER OF CLAIMS:	36
EXEMPLARY CLAIM:	1
LINE COUNT:	1562

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides compounds of Formula (I): ##STR1##

wherein: R.sup.1-R.sup.4, A, D, and L have any of the values defined in the specification that are CCR-3 receptor antagonists, pharmaceutical compositions containing them, methods for their use, and methods and intermediates useful for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **538342-67-5P**, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide
(drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)
RN 538342-67-5 USPATFULL
CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



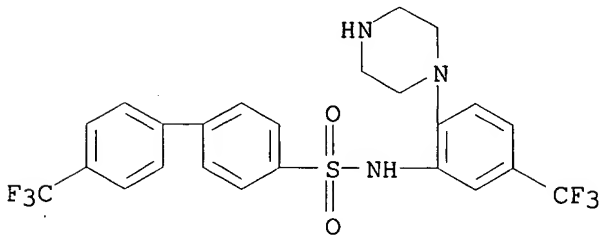
L10 ANSWER 16 OF 20 USPATFULL on STN
ACCESSION NUMBER: 2003:140118 USPATFULL
TITLE: Inhibitors of bace
INVENTOR(S): Bhisetti, Govinda R., Lexington, MA, UNITED STATES
Saunders, Jeffrey O., Acton, MA, UNITED STATES
Murcko, Mark A., Holliston, MA, UNITED STATES
Lepre, Christopher A., Concord, MA, UNITED STATES
Britt, Shawn D., Andover, MA, UNITED STATES
Come, Jon H., Cambridge, MA, UNITED STATES
Deininger, David D., Arlington, MA, UNITED STATES
Wang, Tianshang, Concord, MA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003095958	A1	20030522	
APPLICATION INFO.:	US 2002-136576	A1	20020429	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2001-287169P	20010427	(60)
	US 2001-301049P	20010626	(60)
	US 2001-342263P	20011218	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
NUMBER OF CLAIMS: 71
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Page(s)
LINE COUNT: 5249
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to inhibitors of aspartic proteinases, particularly, BACE. The present invention also relates to compositions thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases.

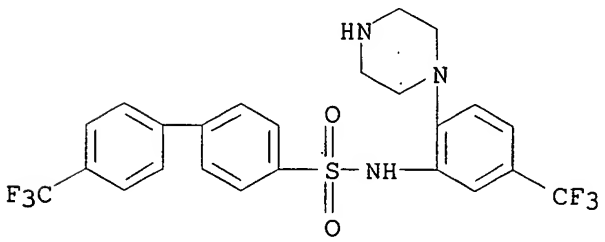
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **474329-75-4P**, 4'-Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474329-76-5P**, 4'-Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide trifluoroacetate **474331-10-7P**, Naphthalene-1-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-11-8P**, Naphthalene-2-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-12-9P**, Biphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-44-7P**, 2'-Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474331-50-5P**, 4'-Trifluoromethylbiphenyl-4-sulfonic acid N-(3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-51-6P**, 3'-Chlorobiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-52-7P**, 4'-Chlorobiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-53-8P**, 3'-Methylbiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-54-9P**, 4'-Methylbiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide
(drug candidate; prepn. of .beta.-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases)
RN 474329-75-4 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474329-76-5 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-4'-(trifluoromethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

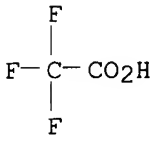
CM 1

CRN 474329-75-4
CMF C24 H21 F6 N3 O2 S

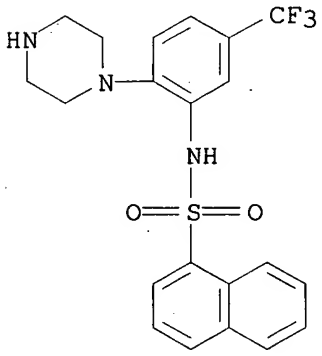


CM 2

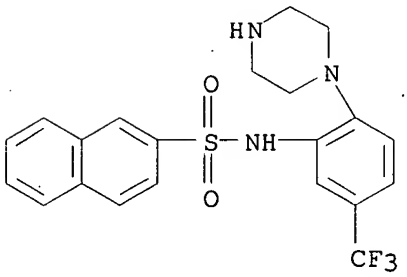
CRN 76-05-1
CMF C2 H F3 O2



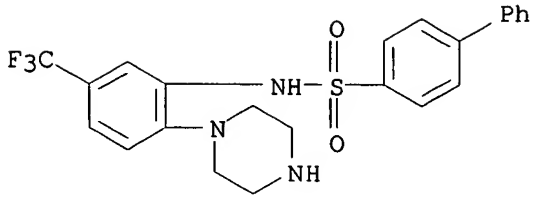
RN 474331-10-7 USPATFULL
CN 1-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



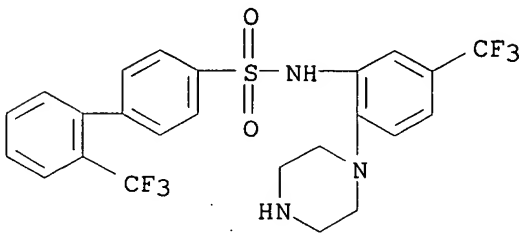
RN 474331-11-8 USPATFULL
CN 2-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



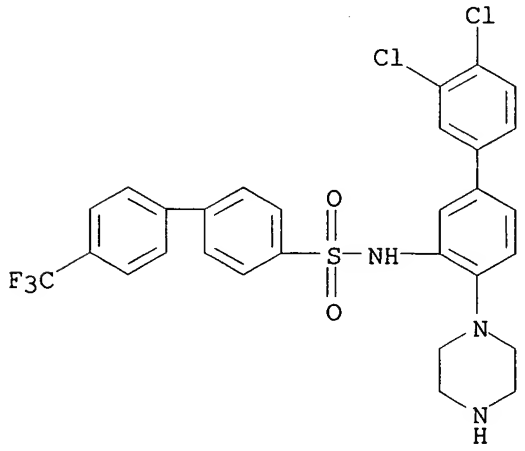
RN 474331-12-9 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



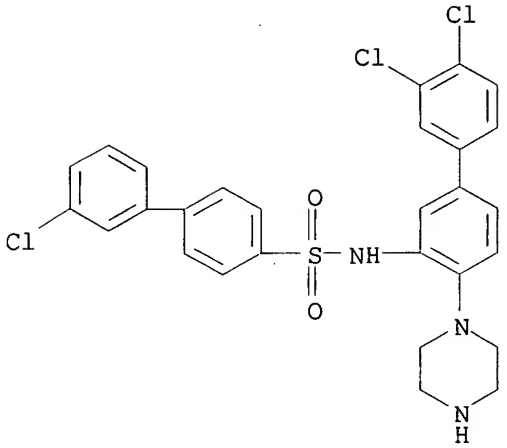
RN 474331-44-7 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



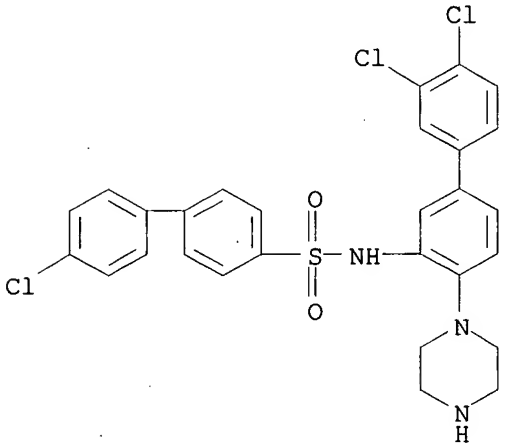
RN 474331-50-5 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



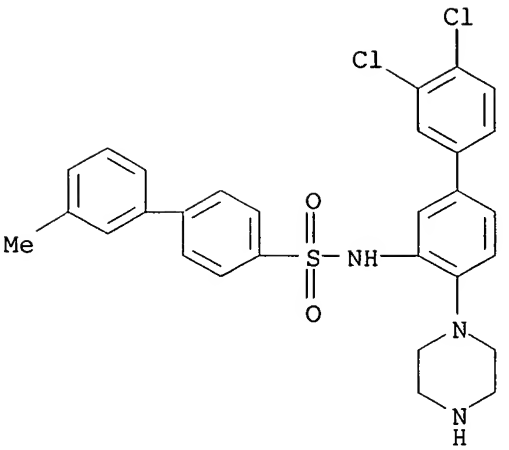
RN 474331-51-6 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, 3'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



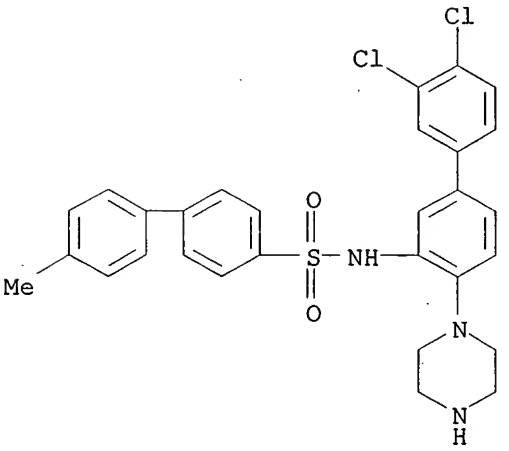
RN 474331-52-7 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, 4'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



RN 474331-53-8 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-3'-methyl- (9CI) (CA INDEX NAME)



RN 474331-54-9 USPATFULL
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-4'-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 17 OF 20 USPATFULL on STN

ACCESSION NUMBER:	2002:236011 USPATFULL
TITLE:	Nonpeptide agonists and antagonists of vasopressin receptors
INVENTOR(S):	Snyder, James P., Atlanta, GA, UNITED STATES Liotta, Dennis C., Atlanta, GA, UNITED STATES Venkatesan, Hariharan, San Diego, CA, UNITED STATES Wang, Minmin, Indianapolis, IN, UNITED STATES Davis, Matthew C., Ridgecrest, CA, UNITED STATES

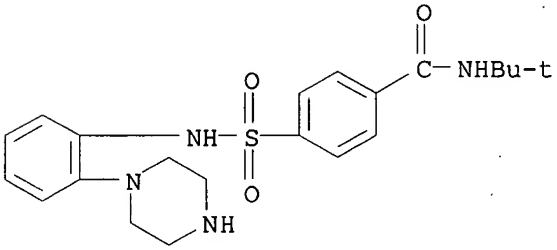
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002128208	A1	20020912	
APPLICATION INFO.:	US 2001-23603	A1	20011217	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2000-255946P	20001215	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: KING & SPALDING, 191 PEACHTREE STREET, N.E., ATLANTA, GA, 30303-1763
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 14 Drawing Page(s)
LINE COUNT: 4297
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The disclosed invention is a composition agonists and/or antagonists of V.sub.2, V.sub.1a or both receptors, in a host, including animals, and especially humans, using a small molecule or its pharmaceutically acceptable salt or prodrug.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **438192-11-1P**
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)
RN 438192-11-1 USPATFULL
CN Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1-piperazinyl)phenyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 20 USPATFULL on STN
ACCESSION NUMBER: 2002:332835 USPATFULL
TITLE: Silver halide color photographic lightsensitive material and novel pyrrolotriazole compound
INVENTOR(S): Tateishi, Keiichi, Minami-Ashigara, JAPAN
Mikoshiba, Hisashi, Minami-Ashigara, JAPAN
Matsuda, Naoto, Minami-Ashigara, JAPAN
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Kanagawa, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6495697	B1	20021217
APPLICATION INFO.:	US 2002-121593		20020415 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-675213, filed on 29 Sep 2000, now patented, Pat. No. US 6399291

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-279838	19990930

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: McKane, Joseph K.
ASSISTANT EXAMINER: Anderson, Rebecca
LEGAL REPRESENTATIVE: Birch, Stewart, Kolasch & Birch, LLP
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2832
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

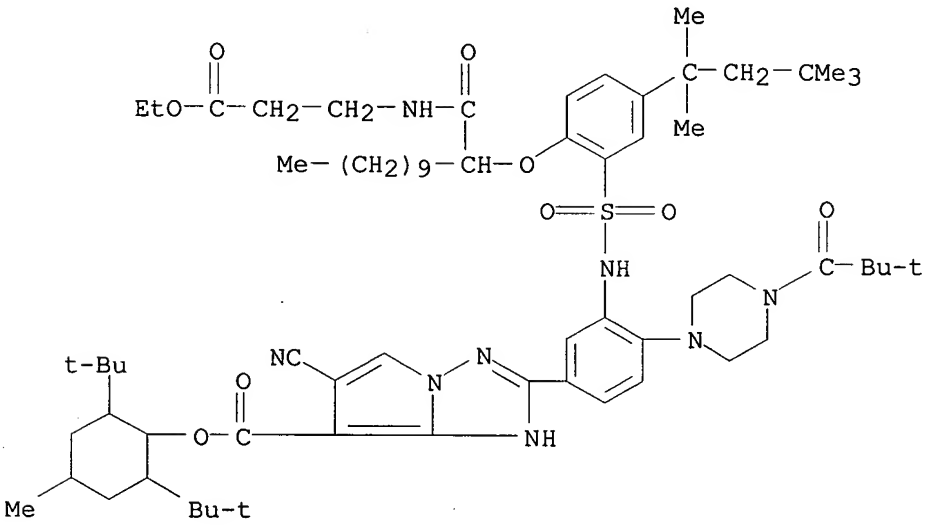
AB A silver halide color photographic lightsensitive material contains a coupler represented by formula (I) below in at least one layer on a support (in this formula, X represents a hydrogen atom or a group which can split off by a coupling reaction with an oxidized form of an aromatic primary amine color developing agent, each of R.sub.1 and R.sub.2 represents an electron-attracting group having a Hammett's substituent constant p value of 0.20 or more, and the sum of the p values of R.sub.1 and R.sub.2 is 0.65 or more, and each of G.sub.1 and G.sub.2 represents a nitrogen atom or a substituent). A pyrrolotriazole compound represented by formula (I) below is also provided. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **344941-66-8P**
(silver halide color photog. material contg. pyrrolotriazole cyan coupler)

RN 344941-66-8 USPATFULL

CN 1H-Pyrrolo[1,2-b][1,2,4]triazole-7-carboxylic acid, 6-cyano-2-[4-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-3-[[[2-[[1-[[(3-ethoxy-3-oxopropyl)amino]carbonyl]undecyl]oxy]-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]amino]phenyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylcyclohexyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2002:137010 USPATFULL

TITLE: Sulfonamide derivatives and drugs containing the same as the active ingredient

INVENTOR(S): Hidaka, Hiroyoshi, 607, Otokikiyama, Tenpaku-ku, Nagoyai-shi, Aichi 468-0063, JAPAN
Inoue, Tsutomu, Funabashi, JAPAN
Umezawa, Isao, Tokyo, JAPAN
Nakano, Hiroyuki, Machida, JAPAN
Nakamura, Hiroshi, Nagareyama, JAPAN
Watanabe, Naofumi, Inagi, JAPAN
Yokota, Shizumasa, Tsurugashima, JAPAN
Sasaki, Tomomitsu, Ageo, JAPAN
Yajima, Yumi, Matsudo, JAPAN

PATENT ASSIGNEE(S): Hidaka, Hiroyoshi, Nagoya, JAPAN (non-U.S. individual)

NUMBER	KIND	DATE

Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION: US 6403607 B1 20020611
WO 9950237 19991007
APPLICATION INFO.: US 2000-647533 20001002 (9)
WO 1999-JP1621 19990330
20001002 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-83804	19980330

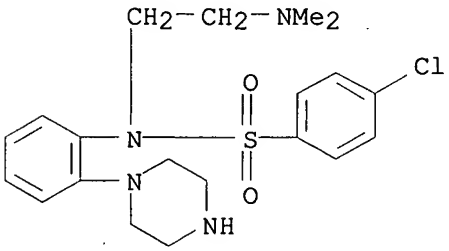
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Robinson, Binta
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2637
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention discloses a sulfonamide derivative represented by the following formula (1): ##STR1##

[wherein A represents a nitrogen atom, --CH.dbd., etc.; Z represents an oxygen atom, etc.; Ar.sup.1 represents an aryl group, etc.; Ar.sup.2 represents an alkyl group, etc.; R.sup.a represents a hydrogen atom, etc.; R.sup.b represents a hydrogen atom, etc.; and R.sup.c represents an alkyl group, etc.], or a salt thereof; and drugs containing the derivative or a salt thereof as an active ingredient.

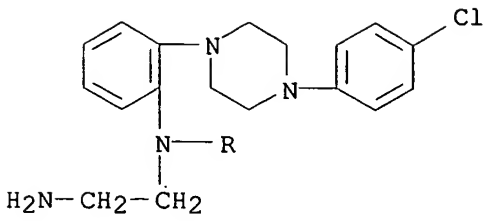
This compound exhibits radical scavenging action, gastric mucous secretion augmenting action, and anti-HP action, and thus is effective as a peptic ulcer therapeutic agent.

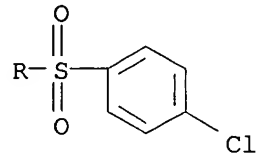
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **245649-65-4P 245649-66-5P 245649-67-6P**
245649-68-7P
(sulfonamide derivs. and antiulcer drugs contg. the same as the active ingredient)
RN 245649-65-4 USPATFULL
CN Benzenesulfonamide, 4-chloro-N-[2-(dimethylamino)ethyl]-N-[2-(1-piperazinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



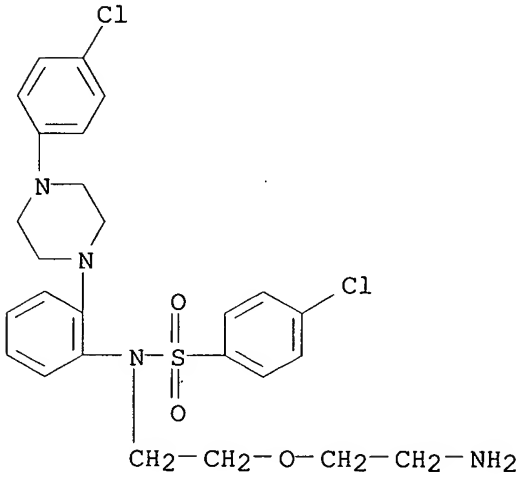
● HCl

RN 245649-66-5 USPATFULL
CN Benzenesulfonamide, N-(2-aminoethyl)-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

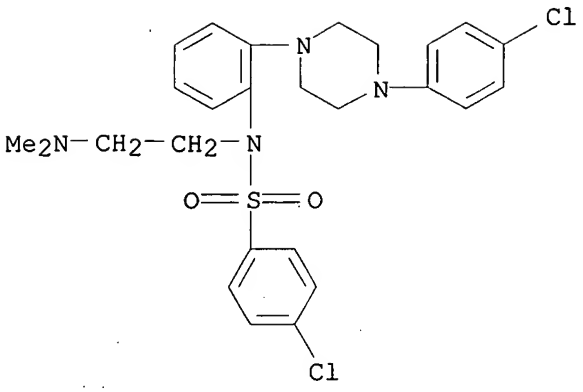




RN 245649-67-6 USPATFULL
CN Benzenesulfonamide, N-[2-(2-aminoethoxy)ethyl]-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 245649-68-7 USPATFULL
CN Benzenesulfonamide, 4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]-N-[2-(dimethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L10 ANSWER 20 OF 20 USPATFULL on STN

ACCESSION NUMBER:	2002:129712 USPATFULL
TITLE:	Silver halide color photographic lightsensitive material and novel pyrrolotriazole compound
INVENTOR(S):	Tateishi, Keiichi, Minami-Ashigara, JAPAN Mikoshiba, Hisashi, Minami-Ashigara, JAPAN Matsuda, Naoto, Minami-Ashigara, JAPAN
PATENT ASSIGNEE(S):	Fuji Photo Film Co., Ltd., Kanagawa, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6399291	B1	20020604	
APPLICATION INFO.:	US 2000-675213		20000929	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-279838	19990930

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Letscher, Geraldine
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP
NUMBER OF CLAIMS:	8
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT:	2784

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A silver halide color photographic lightsensitive material contains a coupler represented by formula (I) below in at least one layer on a support (in this formula, X represents a hydrogen atom or a group which can split off by a coupling reaction with an oxidized form of an aromatic primary amine color developing agent, each of R.sub.1 and R.sub.2 represents an electron-attracting group having a Hammett's substituent constant .sigma.p value of 0.20 or more, and the sum of the .sigma.p values of R.sub.1 and R.sub.2 is 0.65 or more, and each of G.sub.1 and G.sub.2 represents a nitrogen atom or a substituent). A pyrrolotriazble compound represented by formula (I) below is also provided. ##STR1##

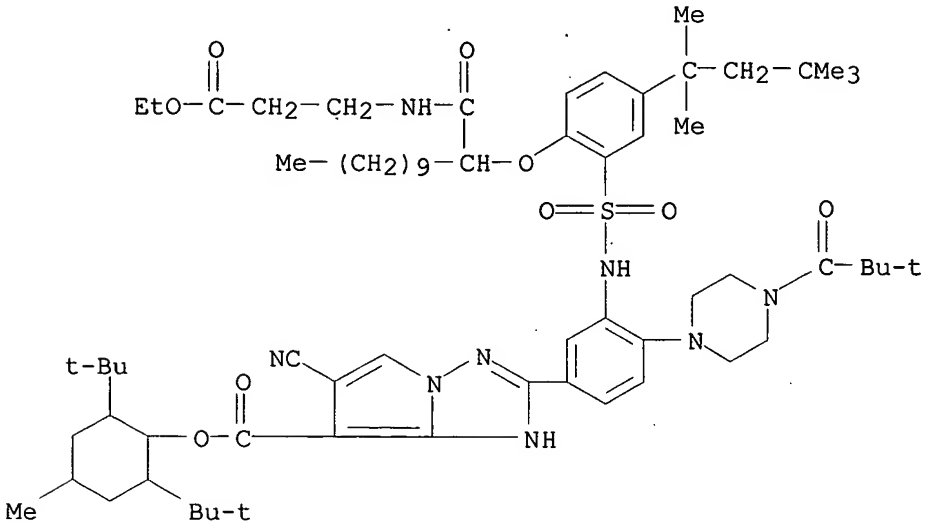
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **344941-66-8P**

(silver halide color photog. material contg. pyrrolotriazole cyan coupler)

RN 344941-66-8 USPATFULL

CN 1H-Pyrrolo[1,2-b][1,2,4]triazole-7-carboxylic acid, 6-cyano-2-[4-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-3-[[[2-[[1-[[(3-ethoxy-3-oxopropyl)amino]carbonyl]undecyl]oxy]-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]amino]phenyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylcyclohexyl ester (9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 10:38:40 ON 07 JAN 2004
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L4		STR
L6	53	SEA FILE=REGISTRY SSS FUL L4
L9	0	SEA FILE=CAOLD ABB=ON L6

FILE 'HOME' ENTERED AT 10:38:40 ON 07 JAN 2004

AB The title compds. were prepd. as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

IT **438192-11-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

L13 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER:	2002:236011 USPATFULL		
TITLE:	Nonpeptide agonists and antagonists of vasopressin receptors		
INVENTOR(S):	Snyder, James P., Atlanta, GA, UNITED STATES Liotta, Dennis C., Atlanta, GA, UNITED STATES Venkatesan, Hariharan, San Diego, CA, UNITED STATES Wang, Minmin, Indianapolis, IN, UNITED STATES Davis, Matthew C., Ridgecrest, CA, UNITED STATES		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002128208	A1	20020912
APPLICATION INFO.:	US 2001-23603	A1	20011217 (10)
	NUMBER		DATE
PRIORITY INFORMATION:	US 2000-255946P		20001215 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	KING & SPALDING, 191 PEACHTREE STREET, N.E., ATLANTA, GA, 30303-1763		
NUMBER OF CLAIMS:	33		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	14 Drawing Page(s)		
LINE COUNT:	4297		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The disclosed invention is a composition agonists and/or antagonists of V.sub.2, V.sub.1a or both receptors, in a host, including animals, and especially humans, using a small molecule or its pharmaceutically acceptable salt or prodrug.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **438192-11-1P**
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

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FILE 'HOME' ENTERED AT 11:00:33 ON 07 JAN 2004